

PATENT ABSTRACTS OF JAPAN

(11)Publication number : 2000-026421

(43)Date of publication of application : 25.01.2000

(51)Int.Cl.

C07D213/70

A01N 43/08

A01N 43/10

A01N 43/40

A01N 43/54

A01N 43/653

A01N 43/76

A01N 43/78

A01N 43/80

C07D213/71

C07D213/85

C07D213/89

C07D249/12

C07D261/10

C07D263/46

C07D275/03

C07D277/36

C07D277/40

C07D277/48

C07D277/56

C07D277/64

C07D307/68

C07D333/34

C07D333/36

C07D333/38

(21)Application number : 11-019418

(71)Applicant : KUMIAI CHEM IND CO
LTD
IHARA CHEM IND CO LTD

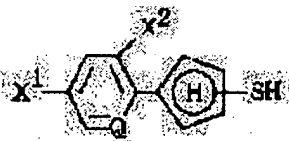
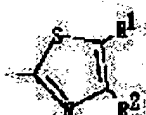
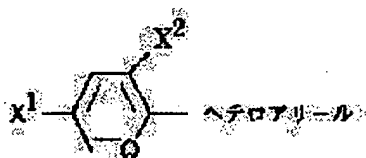
(22)Date of filing : 28.01.1999

(72)Inventor : TOYABE KELJI
ITO MINORU
NISHIYAMA KIYOTOSHI
ASAHIDA MITSU HARU
WADA NOBUHIDE
YANO YUKO
KOMATSU MASA AKI
FUJISAWA TOYOICHI
SHIMAZU HOTOKU

(30)Priority

Priority number : 10030324 Priority date : 29.01.1998 Priority country : JP

(54) DIARYL SULFIDE DERIVATIVE AND PEST CONTROLLING AGENT



(57)Abstract:

PROBLEM TO BE SOLVED: To produce a new compound useful as an insecticide capable manifesting remarkable effects especially on *Plutella xylostella*, *Chilo suppressalis*, etc., which are agricultural and horticultural pests, having high efficacies even in a small dose and excellent in safety.

SOLUTION: This compound is represented by formula I [Q denotes N or the like; X1 denotes H or the like; X2 denotes H or the like; the heteroaryl denotes a group represented by formula II or the like; R1 and R2 denote each H or the like; however, at least one thereof denotes SOnR4; R4 denotes a 1-4C alkyl or the like; (n) denote 0-2, with the proviso that X1 and X2 are not simultaneously H or the like], e.g. 2-(2,6-dichloro-4-trifluoromethylphenyl)-5-isopropylthiazole. The compound represented by formula I is produced by reacting, e.g. a

compound represented by formula II (a group represented by formula IV is the above heteroaryl) [e.g. 2-(2,6-dichloro-4-trifluoromethylphenyl)-5-mercaptothiazole] with a compound represented by the formula; R4L1 (L1 is a halogen or the like) (e.g. isopropyl

iodide) in the presence of a base such as potassium carbonate in a solvent.

LEGAL STATUS

[Date of request for examination] 01.12.2005

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

* NOTICES *

JPO and INPIT are not responsible for any damages caused by the use of this translation.

1. This document has been translated by computer. So the translation may not reflect the original precisely.

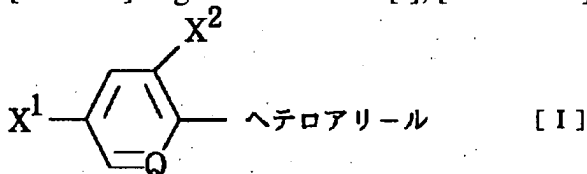
2. **** shows the word which can not be translated.

3. In the drawings, any words are not translated.

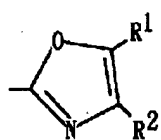
CLAIMS

[Claim(s)]

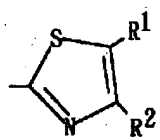
[Claim 1] A general formula [I], [Formula 1]



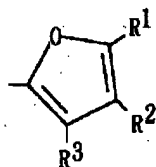
Q shows a nitrogen atom or CX₃ among {type. X₁ A hydrogen atom, a halogen atom, The halo alkyl group of C1-C₄, the haloalkoxy radical of C1-C₄, the halo alkylthio group of C1-C₄, The halo alkyl sulfinyl group of C1-C₄ or the halo alkyl sulfonyl group of C1-C₄ is shown. X₂ and X₃ show a hydrogen atom, a halogen atom, a nitro group, a cyano group, the alkylthio group of C1-C₄, the alkyl sulfinyl group of C1-C₄, or the alkyl sulfonyl group of C1-C₄, and a heteroaryl radical is a general formula and [Formula 2].



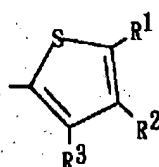
[A-1]



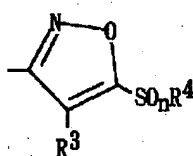
[A-2]



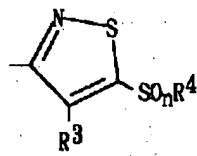
[A-3]



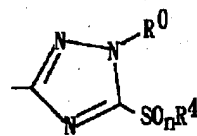
[A-4]



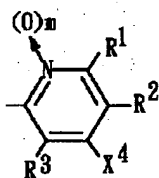
[A-5]



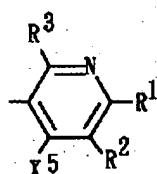
[A-6]



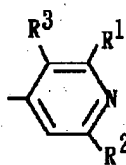
[A-7]



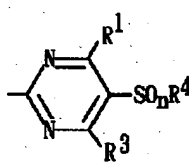
[A-8]



[A-9]



[A-10]



[A-11]

It comes out, the radical expressed is shown and m shows 0 or 1 among the above-mentioned formula. R0 A hydrogen atom, The alkyl group of C1-C4 (a halogen atom, a cyano group, the alkoxy group of C1-C4, or the alkylcarbonyloxy radical of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyl radical (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4 or the alkynyl group (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4 is shown. It carries out mutually-independent [of R1, R2, and X4]. A hydrogen atom, a halogen atom, a cyano group, a nitro group, hydroxyl, and the alkyl group (this radical -- a halogen atom --) of C1-C4 A cyano group, hydroxyl, the alkoxy group of C1-C4, the alkylcarbonyloxy radical of C1-C4, The halo alkylcarbonyloxy radical of C1-C4, the alkylthio group of C1-C4, A thio cyano group, the dialkylamino radical of C1-C4, the alkyl sulfonyl group of C1-C4, The halo alkylthio group of C1-C4, the halo alkyl sulfonyl group of C1-C4, or the alkoxy carbonylamino radical of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyl group of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), the alkoxy group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), SO_nR4 (R4 shows the alkyl group of C1-C4, the cycloalkyl radical of C3-C6, the cycloalkyl methyl group of C4-C7, the halo alkyl group of C1-C4, the alkenyl radical of C2-C4, or the alkynyl group of C2-

C4 among a formula, and n shows the integer of 0-2.) It carries out mutually-independent [of R5 and R6] among a NR5R6[type. A hydrogen atom, the alkyl group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. the alkenyl radical (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 or the alkynyl group (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 is shown.] R5 shows the same semantics as the above among an N(COR7) R5[type. R7 A hydrogen atom, The alkyl group of C1-C4 (a halogen atom, a cyano group, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, a cyano group, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkenyloxy radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), A phenyl group (a halogen atom, a cyano group, the alkyl group of C1-C4, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), the alkynyl group (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 or the alkynyloxy radical of C2-C4 is shown.] Although COR5, CO two R5 (R5 shows the same semantics as the above among a formula.), or C(R5) =NOR6 (R5 and R6 show the same semantics as the above among a formula.) is shown One [at least] of either R1 or R2 R1 and R2, or the X4 is SOnR4 (R4 and n show the same semantics as the above among a formula.). It is shown. R3 and X5 A hydrogen atom, a halogen atom, a cyano group, a nitro group, hydroxyl and the alkyl group (this radical -- a halogen atom and a cyano group --) of C1-C4 Hydroxyl, the alkoxy group of C1-C4, the alkylcarbonyloxy radical of C1-C4, The halo alkylcarbonyloxy radical of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyl group of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), the alkoxy group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyloxy radical (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4, COR5, CO two R5 (R5 shows the same semantics as the above among a formula.) NR five R6, C(R5) =NOR<SUP>6 (R5 and R6 show the same semantics as the above among a formula.), or N (COR7)R5 (R5 and R7 show the same semantics as the above among a formula.) is shown. However, X1 and X2 do not become a hydrogen atom at coincidence, and when a heteroaryl radical is a general formula [A-7] further, as for R4, the cycloalkyl methyl group of C4-C7 or the halo alkyl group of C1-C6 is shown. The diaryl sulfide derivative expressed with}.

[Claim 2] The pest control agent which contains a diaryl sulfide derivative according to claim 1 as an active principle.

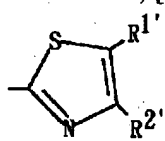
[Claim 3] General formula [** 3]

Li — Hetero [A]

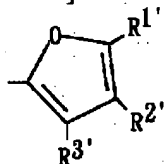
{type Naka -- [Formula 4]

— Hetero

*****, [Formula 5]

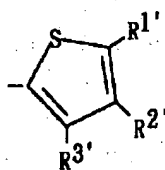


[A - 2']



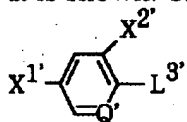
[A - 3']

又は



[A - 4']

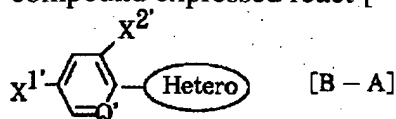
It comes out, the radical expressed is shown and it carries out mutually-independent [of R1' and R2'] among the above-mentioned formula. A hydrogen atom, a halogen atom and the alkyl group (this radical -- a halogen atom and the alkoxy group of C1-C4 --) of C1-C4 The alkylthio group of C1-C4 or the dialkylamino radical of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, the alkoxy group of C1-C4, or the alkylthio group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyloxy radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), SOnR4' (R4' shows the alkyl group of C1-C4, the cycloalkyl radical of C3-C6, the cycloalkyl methyl group of C4-C7, the halo alkyl group of C1-C4, the alkenyl radical of C2-C4, or the alkynyl group of C2-C4 among a formula, and n shows the integer of 0-2.) NR5' -- R6 -- 'the inside of [type, R5', and R6' are mutually-independent -- carrying out -- the alkyl group (this radical -- a halogen atom --) of C1-C4 the alkoxy group of C1-C4, or the alkylthio group of C1-C4 -- mono--- or it may permute or Pori permute, the alkenyl radical (this radical -- a halogen atom -- mono--- it may permute or Pori permute) of C2-C4 is shown.] an example and R3' -- a hydrogen atom, a halogen atom, and the alkyl group (this radical -- a halogen atom --) of C1-C4 The alkoxy group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, the alkoxy group of C1-C4, or the alkylthio group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyloxy radical (a halogen atom may monochrome-permute or Pori permute this radical) or NR5'R6' (R5' and R6' show the same semantics as the above among a formula.) of C2-C4 It is shown. The heteroaryl lithium expressed with }, and a general formula [** 6]



[B]

inside of formula, and Q' -- a nitrogen atom or CX3' -- being shown -- X1' -- a hydrogen atom and a halogen atom -- The halo alkyl group of C1-C4, the haloalkoxy radical of C1-C4, the halo alkylthio group of C1-C4, The halo alkyl sulfinyl group of C1-C4 or the halo alkyl sulfonyl group of C1-C4 is shown. X2' and X3' show a hydrogen atom, a halogen

atom, a nitro group, the alkylthio group of C1-C4, the alkyl sulfinyl group of C1-C4, or the alkyl sulfonyl group of C1-C4, and L3' shows a halogen atom. However, X1' and X2' do not become a hydrogen atom at coincidence. General formula by making the compound expressed react [** 7]



(X1', X2', [Formula 8])



And Q' shows the same semantics as the above. The manufacture approach of a compound expressed.

[Claim 4] The manufacture approach of a compound that Q' of a compound expressed with a general formula [B] is expressed with the general formula [B-A] according to claim 3 X2' and whose X3' are chlorine atoms in CX3' and whose L3' is fluorine Harako.

[Translation done.]

*** NOTICES ***

JPO and INPIT are not responsible for any damages caused by the use of this translation.

1. This document has been translated by computer. So the translation may not reflect the original precisely.
2. **** shows the word which can not be translated.
3. In the drawings, any words are not translated.

DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Field of the Invention] This invention relates to the pest control agent which contains a new diaryl sulfide derivative and this new as an active principle.

[0002]

[Description of the Prior Art] Although it is reported to for example, the East Germany JP,222020,B specification, the East Germany JP,222021,B specification and the European Patent No. 36711 official report specification, the U.S. Pat. No. 3879553 number specification, etc. that a diaryl sulfide derivative can use it as an insecticide until now, the diaryl sulfide derivative of this invention is not yet known.

[0003]

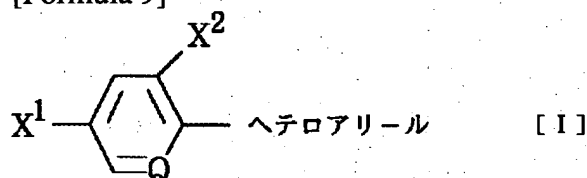
[Problem(s) to be Solved by the Invention] Use is regulated by the existing commercial insecticide from problems, such as a residual, are recording, and environmental pollution, or a resistance noxious insect occurs by long-term use in recent years, and that in which effect faded has also come out. Therefore, in a low dose, it has a high effect, and development of the insecticide excellent in safety is desired.

[0004]

[Means for Solving the Problem] this invention persons compounded various diaryl sulfide derivatives in view of such a situation, and repeated examination about the bioactive. Consequently, it finds out that a prominent effect is shown in the beetles noxious insect represented by spider mites, Callosobruchus, etc. which are represented by the Hemiptera noxious insect represented by the Lepidoptera noxious insect represented by the cabbage moth whose this invention compounds are various noxious organisms, especially plantation art noxious organisms, Chilo, SHIROICHIMOJIYOTOU, etc., a rice brown planthopper, Nephotettix, the woolly aphis, etc., a twospotted spider mite, the European red mite, etc., and this invention is completed.

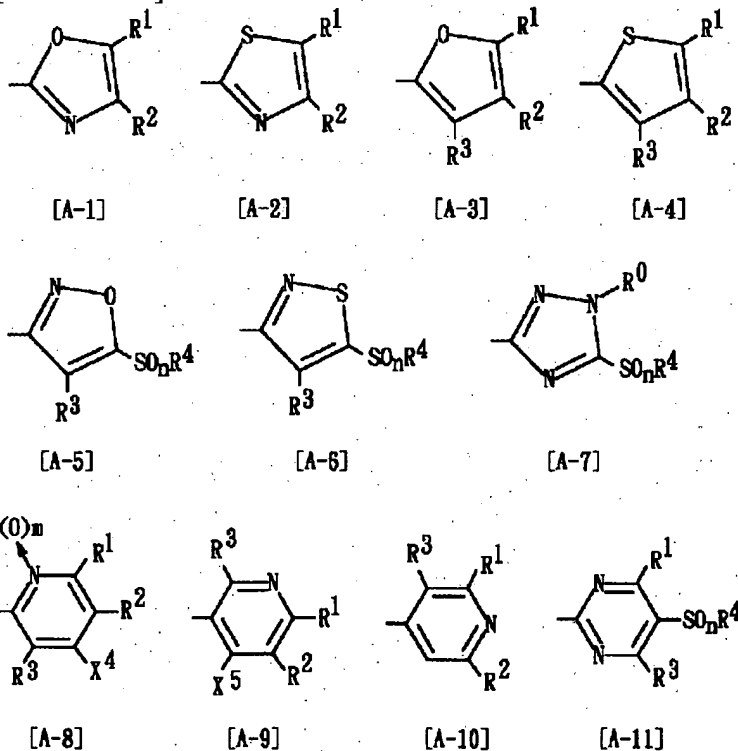
[0005] That is, this invention is (1) general formula [I] and [0006].

[Formula 9]



Q shows a nitrogen atom or CX₃ among {type. X₁ A hydrogen atom, a halogen atom, The halo alkyl group of C1-C₄, the haloalkoxy radical of C1-C₄, the halo alkylthio group of C1-C₄, The halo alkyl sulfinyl group of C1-C₄ or the halo alkyl sulfonyl group of C1-C₄ is shown. X₂ and X₃ show a hydrogen atom, a halogen atom, a nitro group, a cyano group, the alkylthio group of C1-C₄, the alkyl sulfinyl group of C1-C₄, or the alkyl sulfonyl group of C1-C₄, and a heteroaryl radical is a general formula and [0007].

[Formula 10]



It comes out, the radical expressed is shown and m shows 0 or 1 among the above-

mentioned formula. R0 A hydrogen atom, The alkyl group of C1-C4 (a halogen atom, a cyano group, the alkoxy group of C1-C4, or the alkylcarbonyloxy radical of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyl radical (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4 or the alkynyl group (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4 is shown. It carries out mutually-independent [of R1, R2, and X4]. A hydrogen atom, a halogen atom, a cyano group, a nitro group, hydroxyl, and the alkyl group (this radical -- a halogen atom --) of C1-C4 A cyano group, hydroxyl, the alkoxy group of C1-C4, the alkylcarbonyloxy radical of C1-C4, The halo alkylcarbonyloxy radical of C1-C4, the alkylthio group of C1-C4, A thio cyano group, the dialkylamino radical of C1-C4, the alkyl sulfonyl group of C1-C4, The halo alkylthio group of C1-C4, the halo alkyl sulfonyl group of C1-C4, or the alkoxycarbonylamino radical of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyl group of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), the alkoxy group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), SOnR4 (R4 shows the alkyl group of C1-C4, the cycloalkyl radical of C3-C6, the cycloalkyl methyl group of C4-C7, the halo alkyl group of C1-C4, the alkenyl radical of C2-C4, or the alkynyl group of C2-C4 among a formula, and n shows the integer of 0-2.) It carries out mutually-independent [of R5 and R6] among a NR5R6[type. A hydrogen atom, the alkyl group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. the alkenyl radical (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 or the alkynyl group (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 is shown.] R5 shows the same semantics as the above among an N(COR7) R5[type. R7 A hydrogen atom, The alkyl group of C1-C4 (a halogen atom, a cyano group, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, a cyano group, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkenyloxy radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), A phenyl group (a halogen atom, a cyano group, the alkyl group of C1-C4, or the alkoxy group of C1-C4 may monochrome-permute or Pori permute this radical), the alkynyl group (this radical -- a halogen atom or a cyano group -- mono--- it may permute or Pori permute) of C2-C4 or the alkynyloxy radical of C2-C4 is shown.] Although COR5, CO two R5 (R5 shows the same semantics as the above among a formula.), or C(R5) =NOR6 (R5 and R6 show the same semantics as the above among a formula.) is shown One [at least] of either R1 or R2 R1 and R2, or the X4 is SOnR4 (R4 and n show the same

semantics as the above among a formula.). It is shown. R3 and X5 A hydrogen atom, a halogen atom, a cyano group, a nitro group, hydroxyl and the alkyl group (this radical -- a halogen atom and a cyano group --) of C1-C4 Hydroxyl, the alkoxy group of C1-C4, the alkylcarbonyloxy radical of C1-C4, The halo alkylcarbonyloxy radical of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyl group of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), the alkoxy group (this radical -- a halogen atom, a cyano group, and hydroxyl --) of C1-C4 The alkoxy group of C1-C4, the alkoxy carbonyl group of C1-C4, The haloalkoxy carbonyl group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyloxy radical of C2-C4 (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical), The alkynyloxy radical (a halogen atom or a cyano group may monochrome-permute or Pori permute this radical) of C2-C4, COR5, CO two R5 (R5 shows the same semantics as the above among a formula.) NR five R6, C(R5) =NOR6 (R5 and R6 show the same semantics as the above among a formula.), or N (COR7)R5 (R5 and R7 show the same semantics as the above among a formula.) is shown. However, X1 and X2 do not become a hydrogen atom at coincidence, and when a heteroaryl radical is a general formula [A-7] further, as for R4, the cycloalkyl methyl group of C4-C7 or the halo alkyl group of C1-C6 is shown. Pest control agent which contains the diaryl sulfide derivative expressed with}, and a diaryl sulfide derivative given in (2) general formulas [1] as an active principle (3) General formula [0008]

[Formula 11]



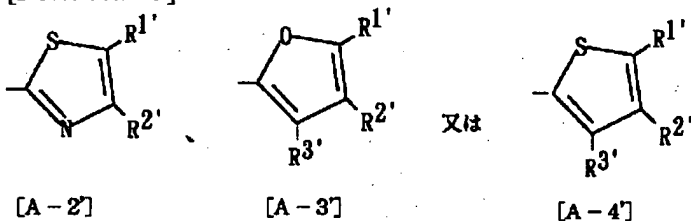
It is [0009] among {type.

[Formula 12]



*****, [0010]

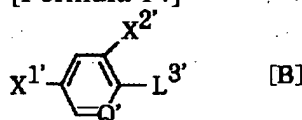
[Formula 13]



It comes out, the radical expressed is shown and it carries out mutually-independent [of R1' and R2'] among the above-mentioned formula. A hydrogen atom, a halogen atom and the alkyl group (this radical -- a halogen atom and the alkoxy group of C1-C4 --) of C1-C4 The alkylthio group of C1-C4 or the dialkylamino radical of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, the alkoxy group of C1-C4, or the alkylthio group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyloxy radical of C2-C4 (a

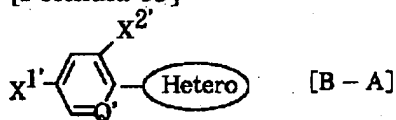
halogen atom may monochrome-permute or Pori permute this radical), SOnR4' (R4' shows the alkyl group of C1-C4, the cycloalkyl radical of C3-C6, the cycloalkyl methyl group of C4-C7, the halo alkyl group of C1-C4, the alkenyl radical of C2-C4, or the alkynyl group of C2-C4 among a formula, and n shows the integer of 0-2.) NR5' -- R6 -- 'the inside of [type, R5', and R6' are mutually-independent -- carrying out -- the alkyl group (this radical -- a halogen atom --) of C1-C4 the alkoxy group of C1-C4, or the alkylthio group of C1-C4 -- mono--- or it may permute or Pori permute, the alkenyl radical (this radical -- a halogen atom -- mono--- it may permute or Pori permute) of C2-C4 is shown.] an example and R3' -- a hydrogen atom, a halogen atom, and the alkyl group (this radical -- a halogen atom --) of C1-C4 The alkoxy group of C1-C4 or the alkylthio group of C1-C4 may monochrome-permute or Pori permute. The alkenyl radical of C2-C4 (a halogen atom may monochrome-permute or Pori permute this radical), The alkoxy group of C1-C4 (a halogen atom, the alkoxy group of C1-C4, or the alkylthio group of C1-C4 may monochrome-permute or Pori permute this radical), The alkenyloxy radical (a halogen atom may monochrome-permute or Pori permute this radical) or NR5'R6' (R5' and R6' show the same semantics as the above among a formula.) of C2-C4 It is shown. The heteroaryl lithium expressed with }, and a general formula [0011]

[Formula 14]



inside of formula, and Q' -- a nitrogen atom or CX3' -- being shown -- X1' -- a hydrogen atom and a halogen atom -- The halo alkyl group of C1-C4, the haloalkoxy radical of C1-C4, the halo alkylthio group of C1-C4, The halo alkyl sulfinyl group of C1-C4 or the halo alkyl sulfonyl group of C1-C4 is shown. X2' and X3' show a hydrogen atom, a halogen atom, a nitro group, the alkylthio group of C1-C4, the alkyl sulfinyl group of C1-C4, or the alkyl sulfonyl group of C1-C4, and L3' shows a halogen atom. However, X1' and X2' do not become a hydrogen atom at coincidence. General formula by making the compound expressed react [0012]

[Formula 15]



(X1', X2', [0013])

[Formula 16]



And Q' shows the same semantics as the above. The manufacture approach of a compound expressed is offered.

[0014] In addition, in this specification, the definition of the vocabulary used is shown below.

[0015] A halogen atom shows a fluorine atom, a chlorine atom, a bromine atom, and an iodine atom.

[0016] Unless especially an alkyl group is limited, a carbon number means the alkyl

group of the straight chain of 1-4, or branched chain, for example, can mention a methyl group, an ethyl group, n-propyl group, an isopropyl group, n-butyl, an isobutyl radical, sec-butyl, tert-butyl, etc.

[0017] A carbon number can show the cycloalkyl radical of 3-6, for example, a cycloalkyl radical can mention a cyclo propyl group, a cyclopentyl group, a cyclohexyl radical, etc.

[0018] A cycloalkyl methyl group can show the -CH₂-radical whose cycloalkyl part is above semantics (cycloalkyl), for example, a cyclopropyl methyl radical etc. can be mentioned.

[0019] A carbon number can show the alkenyl radical of the straight chain of 2 to 4, or branched chain, for example, an alkenyl radical can mention an ethenyl radical, 2-propenyl radical, etc.

[0020] An alkenyloxy radical can show the -O-radical whose alkenyl part is above semantics (alkenyl), for example, an allyloxy radical etc. can be mentioned.

[0021] A carbon number can show the alkynyl group of the straight chain of 2 to 4, or branched chain, for example, an alkynyl group can mention a propargyl radical etc.

[0022] An alkynyloxy radical can show the -O-radical whose alkynyl part is above semantics (alkynyl), for example, a propargyl oxy-radical etc. can be mentioned.

[0023] The same or the carbon number permuted by the halogen atoms 1-13 which are different from each other can show the alkyl group of the straight chain of 1-6, or branched chain, for example, especially a halo alkyl group can mention a chloromethyl radical, a trifluoromethyl radical, a tetrafluoro ethyl group, etc., unless it limits.

[0024] A haloalkoxy radical can show the -O-radical whose halo alkyl part is above semantics (halo alkyl), for example, a trifluoro methoxy group, a difluoro methoxy group, etc. can be mentioned.

[0025] A halo alkylthio group, a halo alkyl sulfinyl group, and a halo alkyl sulfonyl group can show the -S-radical whose halo alkyl part is above semantics (halo alkyl), a (halo alkyl)-SO-radical, and a (halo alkyl)-SO₂-radical, for example, a trifluoro methylthio radical, a trifluoromethyl sulfinyl group, a trifluoromethylsulfonyl radical, etc. can be mentioned.

[0026] An alkoxy group can show the -O-radical whose alkyl part is above semantics (alkyl), for example, a methoxy group, an ethoxy radical, etc. can be mentioned.

[0027] An alkylthio group can show the -S-radical whose alkyl part is above semantics (alkyl), for example, a methylthio radical, an ethyl thio radical, etc. can be mentioned.

[0028] An alkoxy carbonyl group can show the -CO-radical whose alkoxy part is above semantics (alkoxy), for example, a methoxycarbonyl group, an ethoxycarbonyl radical, etc. can be mentioned.

[0029] An alkylcarbonyloxy radical can show the -CO-O-radical whose alkyl part is above semantics (alkyl), for example, an acetoxy radical etc. can be mentioned.

[0030] A halo alkylcarbonyloxy radical can show the -CO-O-radical whose halo alkyl part is above semantics (halo alkyl), for example, a trifluoro acetoxy radical etc. can be mentioned.

[0031] In said general formula [I] as a desirable compound group The compound group to which R₄ is expressed with the cycloalkyl methyl group of C₄-C₇ or the halo alkyl group of C₁-C₆ is mentioned. As a more desirable compound group R₄ is the cycloalkyl methyl group of C₄-C₇, or the halo alkyl group of C₁-C₆. A heteroaryl radical is the

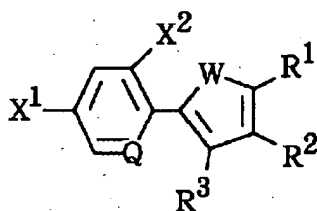
compound group chosen from [A-2] - [A-4] or [A-7] - [A-11]. Still more preferably R4 is the cycloalkyl methyl group of C4-C7, or the halo alkyl group of C1-C6, a heteroaryl radical is chosen from [A-2] - [A-4] or [A-7] - [A-11], and the compound group to which X1 is expressed with a halogen atom or a trifluoromethyl radical is mentioned.

[0032]

[Embodiment of the Invention] Next, the typical example of this invention compound expressed with a general formula [I] is illustrated to Table 1 - 18. In addition, a compound number is referred to in future publications.

[0033]

[Table 1]



| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | W | 融点(℃) 20℃ 折 率 (n _D ²⁰) |
|------------|-----------------|----------------|--|---------------------------------|----------------|-----|---|---|
| I- 1 | CF ₃ | Cl | SCCH ₃ | H | H | CH | S | 測定不可 |
| I- 2 | CF ₃ | Cl | SOCH ₃ | H | H | CH | S | 1.6094 |
| I- 3 | CF ₃ | Cl | SO ₂ CH ₃ | H | H | CH | S | |
| I- 4 | CF ₃ | F | H | SCF ₃ | H | CH | S | |
| I- 5 | CF ₃ | F | H | SOCF ₃ | H | CH | S | |
| I- 6 | CF ₃ | F | H | SO ₂ CF ₃ | H | CH | S | |
| I- 7 | CF ₃ | Cl | SCCH ₃ | H | H | CCl | S | 1.5911 |
| I- 8 | CF ₃ | Cl | SOCH ₃ | H | H | CCl | S | 1.5865 |
| I- 9 | CF ₃ | Cl | SO ₂ CH ₃ | H | H | CCl | S | 69- 72 |
| I-10 | CF ₃ | Cl | SCF ₃ | H | H | CCl | S | 1.5283 |
| I-11 | CF ₃ | Cl | SOCF ₃ | H | H | CCl | S | 1.5358 |
| I-12 | CF ₃ | Cl | SO ₂ CF ₃ | H | H | CCl | S | |
| I-13 | CF ₃ | Cl | SC ₃ H ₇ -1 | H | H | CCl | S | 1.5709 |
| I-14 | CF ₃ | Cl | SO ₂ C ₃ H ₇ -i | H | H | CCl | S | 1.5732 |
| I-15 | CF ₃ | Cl | SO ₂ C ₃ H ₇ -i | H | H | CCl | S | 1.5339 |
| I-16 | CF ₃ | Cl | SCFCl ₂ | H | H | CCl | S | 1.5748 |
| I-17 | CF ₃ | Cl | SO ₂ CFCl ₂ | H | H | CCl | S | 88- 91 |
| I-18 | CF ₃ | Cl | SCCH ₃ | H | H | N | S | |
| I-19 | CF ₃ | Cl | SOCH ₃ | H | H | N | S | 70- 73 |
| I-20 | CF ₃ | Cl | SO ₂ CH ₃ | H | H | N | S | |
| I-21 | CF ₃ | Cl | SCF ₃ | CN | H | CCl | S | |
| I-22 | CF ₃ | Cl | SOCF ₃ | CN | H | CCl | S | |
| I-23 | CF ₃ | Cl | SO ₂ CF ₃ | CN | H | CCl | S | |
| I-24 | CF ₃ | Cl | SCCH ₃ | H | H | CCl | S | |

[0034]

[Table 2]

| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | W | 融点(°C) ±5 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|------------------------------------|---------------------------------|-----------------|------------------|---|--|
| I-25 | CF ₃ | Cl | SCF ₃ | CH ₃ | H | CCl | S | |
| I-26 | CF ₃ | Cl | SOCF ₃ | CH ₃ | H | CCl | S | |
| I-27 | CF ₃ | Cl | SO ₂ CF ₃ | CH ₃ | H | CCl | S | |
| I-28 | CF ₃ | Cl | SCF ₃ | NH ₂ | H | CCl | S | |
| I-29 | CF ₃ | Cl | SOCF ₃ | NH ₂ | H | CCl | S | |
| I-30 | CF ₃ | Cl | SO ₂ CF ₃ | NH ₂ | H | CCl | S | |
| I-31 | CF ₃ | Cl | CH ₃ | SCF ₃ | H | CCl | S | 1.5305 |
| I-32 | CF ₃ | Cl | CH ₃ | SOCF ₃ | H | CCl | S | 106-109 |
| I-33 | CF ₃ | Cl | CH ₃ | SO ₂ CF ₃ | H | CCl | S | |
| I-34 | CF ₃ | Cl | CN | SCF ₃ | H | CCl | S | 1.5486 |
| I-35 | CF ₃ | Cl | CN | SOCF ₃ | H | CCl | S | |
| I-36 | CF ₃ | Cl | CN | SO ₂ CF ₃ | H | CCl | S | |
| I-37 | CF ₃ | Cl | CN | SCF ₃ | NH ₂ | CCl | S | |
| I-38 | CF ₃ | Cl | CN | SOCF ₃ | NH ₂ | CCl | S | |
| I-39 | CF ₃ | Cl | CN | SO ₂ CF ₃ | NH ₂ | CCl | S | |
| I-40 | CF ₃ | Cl | CN | SCF ₃ | Cl | CCl | S | |
| I-41 | CF ₃ | Cl | CN | SCF ₃ | Br | CCl | S | |
| I-42 | CF ₃ | Cl | CN | SOCF ₃ | Br | CCl | S | |
| I-43 | CF ₃ | Cl | CN | SCFCl ₂ | Br | CCl | S | |
| I-44 | CF ₃ | Cl | CN | SOCFCl ₂ | Br | CCl | S | |
| I-45 | CF ₃ | Cl | CN | SCH ₂ △ | Br | CCl | S | |
| I-46 | CF ₃ | Cl | SCH ₂ △ | CN | H | N | S | |
| I-47 | CF ₃ | Cl | SOCH ₂ △△ | CN | H | N | S | |
| I-48 | CF ₃ | Cl | SO ₂ CH ₂ △△ | CN | H | N | S | |
| I-49 | CF ₃ | Cl | SCF ₃ | NH ₂ | H | CCN | S | |
| I-50 | CF ₃ | Cl | SOCF ₃ | NH ₂ | H | CCN | S | |
| I-51 | CF ₃ | Cl | SO ₂ CF ₃ | NH ₂ | H | CCN | S | |
| I-52 | CF ₃ | Cl | SCF ₃ | H | H | CF | S | |
| I-53 | CF ₃ | Cl | SOCH ₃ | H | H | CF | S | |
| I-54 | CF ₃ | Cl | SO ₂ CH ₃ | H | H | CF | S | |
| I-55 | CF ₃ | Cl | SCF ₃ | H | H | CNO ₂ | S | |

[0035]

[Table 3]

| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | V | 融点(°C) ±0.5 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------------------------|---------------------------------|-----------------|------------------|---|--|
| I-56 | CF ₃ | Cl | SOCF ₃ | H | H | CNO ₂ | S | |
| I-57 | Br | Br | SCF ₃ | H | H | CH | S | |
| I-58 | Cl | Cl | SCF ₃ | H | H | CCl | S | |
| I-59 | CF ₃ | Cl | SCF ₃ | H | H | CCl | O | 1.5606 |
| I-60 | CF ₃ | Cl | SOCH ₃ | H | H | CCl | O | 79- 80 |
| I-61 | CF ₃ | Cl | SO ₂ CH ₃ | H | H | CCl | O | |
| I-62 | CF ₃ | Cl | SCF ₃ | H | H | CCl | O | 1.5052 |
| I-63 | CF ₃ | Cl | SOCF ₃ | H | H | CCl | O | |
| I-64 | CF ₃ | Cl | SO ₂ CF ₃ | H | H | CCl | O | |
| I-65 | CF ₃ | Cl | SCFCl ₂ | H | H | CCl | O | 1.5493 |
| I-66 | CF ₃ | Cl | SOCFCl ₂ | H | H | CCl | O | 71- 74 |
| I-67 | CF ₃ | Cl | SO ₂ CFCl ₂ | H | H | CCl | O | |
| I-68 | CF ₃ | Cl | SCF ₃ | CN | H | CCl | O | |
| I-69 | CF ₃ | Cl | SOCF ₃ | CN | H | CCl | O | |
| I-70 | CF ₃ | Cl | SO ₂ CF ₃ | CN | H | CCl | O | |
| I-71 | CF ₃ | Cl | SCF ₃ | CH ₃ | H | CCl | O | |
| I-72 | CF ₃ | Cl | SOCF ₃ | CH ₃ | H | CCl | O | |
| I-73 | CF ₃ | Cl | SO ₂ CF ₃ | CH ₃ | H | CCl | O | |
| I-74 | CF ₃ | Cl | SCF ₃ | NH ₂ | H | CCl | O | |
| I-75 | CF ₃ | Cl | SOCF ₃ | NH ₂ | H | CCl | O | |
| I-76 | CF ₃ | Cl | SO ₂ CF ₃ | NH ₂ | H | CCl | O | |
| I-77 | CF ₃ | Cl | CH ₃ | SCF ₃ | H | CCl | O | |
| I-78 | CF ₃ | Cl | CH ₃ | SOCF ₃ | H | CCl | O | |
| I-79 | CF ₃ | Cl | CH ₃ | SO ₂ CF ₃ | H | CCl | O | |
| I-80 | CF ₃ | Cl | CN | SCF ₃ | H | CCl | O | |
| I-81 | CF ₃ | Cl | CN | SOCF ₃ | H | CCl | O | |
| I-82 | CF ₃ | Cl | CN | SO ₂ CF ₃ | H | CCl | O | |
| I-83 | CF ₃ | Cl | CN | SCF ₃ | NH ₂ | CCl | O | |
| I-84 | CF ₃ | Cl | CN | SOCF ₃ | NH ₂ | CCl | O | |
| I-85 | CF ₃ | Cl | CN | SO ₂ CF ₃ | NH ₂ | CCl | O | |

[0036]

[Table 4]

| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | W | 融点(°C) 註 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|--|------------------------------------|----------------|-----|---|---|
| I-86 | CF ₃ | Cl | CH ₂ Br | SCF ₃ | H | CCl | S | 1.5572 |
| I-87 | CF ₃ | Cl | CH ₂ OCOCH ₃ | SCF ₃ | H | CCl | S | 1.5269 |
| I-88 | CF ₃ | Cl | CH ₂ OH | SCF ₃ | H | CCl | S | 1.5415 |
| I-89 | CF ₃ | Cl | CH ₃ | SCFCl ₂ | H | CCl | S | 1.5681 |
| I-90 | CF ₃ | Cl | CHO | SCF ₃ | H | CCl | S | 測定不可 |
| I-91 | CF ₃ | Cl | CH ₃ | SCH ₃ | H | CCl | S | 1.5810 |
| I-92 | CF ₃ | Cl | CH ₃ | SOCH ₃ | H | CCl | S | 126-127 |
| I-93 | CF ₃ | Cl | CH ₃ | SC ₃ H ₇ -i | H | CCl | S | 1.5639 |
| I-94 | CF ₃ | Cl | CH ₃ | SOCFCI ₂ | H | CCl | S | 107-108 |
| I-95 | CF ₃ | Cl | CH ₃ | SO ₂ CFCI ₂ | H | CCl | S | 59- 60 |
| I-96 | CF ₃ | Cl | SCH ₃ | CH ₃ | H | CCl | S | 1.5819 |
| I-97 | CF ₃ | Cl | SOCH ₃ | CH ₃ | H | CCl | S | 114-115 |
| I-98 | CF ₃ | Cl | CH ₂ Br | SCFCl ₂ | H | CCl | S | 1.5940 |
| I-99 | CF ₃ | Cl | CH ₂ OH | SCFCl ₂ | H | CCl | S | 1.5698 |
| I-100 | CF ₃ | Cl | SCFCl ₂ | CH ₃ | H | CCl | S | 1.5706 |
| I-101 | CF ₃ | Cl | CH ₂ NHCO ₂ CH ₃ | SCFCl ₂ | H | CCl | S | 95- 96 |
| I-102 | CF ₃ | Cl | CH ₂ NHCO ₂ C ₃ H ₇ -i | SCFCl ₂ | H | CCl | S | 85- 87 |
| I-103 | CF ₃ | Cl | SCFCl ₂ | CN | H | CCl | S | 81- 83 |
| I-104 | CF ₃ | Cl | SOCFCI ₂ | CH ₃ | H | CCl | S | 1.5819 |
| I-105 | CF ₃ | Cl | CHO | SCFCl ₂ | H | CCl | S | 62- 63 |
| I-106 | CF ₃ | Cl | CH=NOH | SCFCl ₂ | H | CCl | S | 175-177 |
| I-107 | CF ₃ | Cl | CN | SCFCl ₂ | H | CCl | S | 1.5850 |
| I-108 | CF ₃ | Cl | SCFCl ₂ | CH ₂ OH | H | CCl | S | 1.5747 |
| I-109 | CF ₃ | Cl | SCFCl ₂ | CHO | H | CCl | S | 1.5850 |
| I-110 | CF ₃ | Cl | SCFCl ₂ | H | H | CH | S | 1.5850 |
| I-111 | CF ₃ | H | SCH ₃ | H | H | CH | S | 87- 89 |
| I-112 | CF ₃ | H | SOCH ₃ | H | H | CH | S | 96- 98 |
| I-113 | CF ₃ | H | SC ₃ H ₇ -n | H | H | CH | S | 47- 48 |
| I-114 | CF ₃ | H | SCFCl ₂ | H | H | CH | S | 1.592 |
| I-115 | CF ₃ | Cl | SC ₃ H ₇ -n | H | H | CH | S | 1.5886 |
| I-116 | CF ₃ | Cl | CH ₃ | SOC ₃ H ₇ -i | H | CCl | S | 87- 88 |

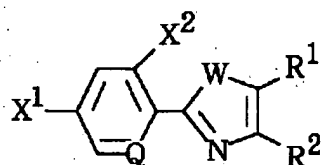
[0037]

[Table 5]

| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | W | 融点(°C) 註 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------|-----------------------------------|----------------|-----|---|---|
| I-117 | CF ₃ | Cl | CH ₃ | SCH ₂ CF ₃ | H | CCl | S | 1.5393 |
| I-118 | CF ₃ | Cl | CH ₃ | SOCH ₂ CF ₃ | H | CCl | S | 93- 94 |
| I-119 | CF ₃ | H | Cl | SCH ₂ CF ₃ | H | CH | S | |
| I-120 | CF ₃ | H | Cl | SOCH ₂ CF ₃ | H | CH | S | |

[0038]

[Table 6]



| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | Q | W | 融点(℃) または 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|--|--|-----|---|--|
| II- 1 | CF ₃ | Cl | SOCH ₃ | H | CCl | S | 1.5785 |
| II- 2 | CF ₃ | Cl | SOCH ₃ | H | CCl | S | 86- 89 |
| II- 3 | CF ₃ | Cl | SO ₂ CH ₃ | H | CCl | S | 132-135 |
| II- 4 | CF ₃ | Cl | SCF ₃ | H | CCl | S | 1.5242 |
| II- 5 | CF ₃ | Cl | SOCF ₃ | H | CCl | S | 1.5184 |
| II- 6 | CF ₃ | Cl | SO ₂ CF ₃ | H | CCl | S | |
| II- 7 | CF ₃ | Cl | SC ₃ H ₇ -i | H | CCl | S | 1.5480 |
| II- 8 | CF ₃ | Cl | SO ₂ C ₃ H ₇ -i | H | CCl | S | 1.5612 |
| II- 9 | CF ₃ | Cl | SO ₂ C ₃ H ₇ -i | H | CCl | S | 1.5288 |
| II-10 | CF ₃ | Cl | SOCH ₃ | CH ₃ | CCl | S | 1.5746 |
| II-11 | CF ₃ | Cl | SOCH ₃ | CH ₃ | CCl | S | 168-169 |
| II-12 | CF ₃ | Cl | SO ₂ CH ₃ | CH ₃ | CCl | S | |
| II-13 | CF ₃ | Cl | SCF ₃ | CH ₃ | CCl | S | 58- 59 |
| II-14 | CF ₃ | Cl | SCF ₃ | CH ₂ OH | CCl | S | 109-111 |
| II-15 | CF ₃ | Cl | SCF ₃ | CH ₂ Cl | CCl | S | 1.5364 |
| II-16 | CF ₃ | Cl | SCF ₃ | CH ₂ OCH ₃ | CCl | S | 1.5240 |
| II-17 | CF ₃ | Cl | SCF ₃ | CHO | CCl | S | 1.5488 |
| II-18 | CF ₃ | Cl | SCF ₃ | ON | CCl | S | 1.5330 |
| II-19 | CF ₃ | Cl | SOCF ₃ | ON | CCl | S | 108-109 |
| II-20 | CF ₃ | Cl | SCFC1 ₂ | CH ₃ | CCl | S | 1.5771 |
| II-21 | CF ₃ | Cl | SCF ₃ | CH ₂ Br | CCl | S | |
| II-22 | CF ₃ | Cl | SCF ₃ | CH ₂ SCN | CCl | S | 113-115 |
| II-23 | CF ₃ | Cl | SCF ₃ | CH ₂ N(CH ₃) ₂ | CCl | S | 1.5245 |
| II-24 | CF ₃ | Cl | SCF ₃ | CH ₂ SO ₂ CF ₃ | CCl | S | 1.5198 |
| II-25 | CF ₃ | Cl | SCF ₃ | CH ₂ SCF ₃ | CCl | S | |
| II-26 | CF ₃ | Cl | SCF ₃ | CH ₂ SO ₂ CH ₃ | CCl | S | 1.5374 |


[0039]

[Table 7]

| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | Q | W | 融点(°C) 結晶 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------------------------|--|-----|---|--|
| II-27 | CF ₃ | Cl | SCF ₃ | CH ₂ CN | CCl | S | |
| II-28 | CF ₃ | Cl | SCF ₃ | C(CH ₃) ₂ CN | CCl | S | |
| II-29 | CF ₃ | Cl | SCF ₃ | CH ₂ NHCO ₂ CH ₃ | CCl | S | |
| II-30 | CF ₃ | Cl | SOCF ₃ | CH ₂ NHCO ₂ CH ₃ | CCl | S | |
| II-31 | CF ₃ | Cl | CH ₃ | SCF ₃ | CCl | S | |
| II-32 | CF ₃ | Cl | CH ₃ | SOCF ₃ | CCl | S | |
| II-33 | CF ₃ | Cl | CN | SCF ₃ | CCl | S | |
| II-34 | CF ₃ | Cl | CN | SOCF ₃ | CCl | S | |
| II-35 | CF ₃ | Cl | CN | SO ₂ CF ₃ | CCl | S | |
| II-36 | CF ₃ | Cl | SCF ₃ | Cl | CCl | S | |
| II-37 | CF ₃ | Cl | SCF ₃ | NH ₂ | CCl | S | |
| II-38 | CF ₃ | Cl | SCF ₃ | N(CH ₃) ₂ | CCl | S | |
| II-39 | CF ₃ | Cl | SCF ₃ | SCH ₃ | CCl | S | |
| II-40 | CF ₃ | Cl | SCCH ₂ △ | H | CCl | S | 1.5835 |
| II-41 | CF ₃ | Cl | SC ₃ H ₇ -i | CH ₃ | CCl | S | 1.5622 |
| II-42 | CF ₃ | Cl | SCF ₃ | OCH ₃ | CCl | S | |
| II-43 | CF ₃ | Cl | SCF ₃ | CO ₂ H | CCl | S | |
| II-44 | CF ₃ | Cl | SCF ₃ | NHCO ₂ C ₄ H ₉ -t | CCl | S | |
| II-45 | CF ₃ | Cl | SCF ₃ | CH(OH)CH ₃ | CCl | S | |
| II-46 | CF ₃ | Cl | SCF ₃ | COCH ₃ | CCl | S | |
| II-47 | CF ₃ | Cl | SCCH ₃ | H | CCl | 0 | |
| II-48 | CF ₃ | Cl | SOCH ₃ | H | CCl | 0 | |
| II-49 | CF ₃ | Cl | SO ₂ CH ₃ | H | CCl | 0 | |
| II-50 | CF ₃ | Cl | SCF ₃ | H | CCl | 0 | |
| II-51 | CF ₃ | Cl | SOCF ₃ | H | CCl | 0 | |
| II-52 | CF ₃ | Cl | SO ₂ CF ₃ | H | CCl | 0 | |
| II-53 | CF ₃ | Cl | SCCH ₃ | CH ₃ | CCl | 0 | |
| II-54 | CF ₃ | Cl | SOCH ₃ | CH ₃ | CCl | 0 | |
| II-55 | CF ₃ | Cl | SO ₂ CH ₃ | CH ₃ | CCl | 0 | |
| II-56 | CF ₃ | Cl | SCF ₃ | CH ₃ | CCl | 0 | |
| II-57 | CF ₃ | Cl | SCF ₃ | CH ₂ OH | CCl | 0 | |

[0040]

[Table 8]

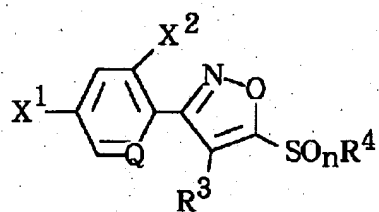
| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | Q | W | 熔点(°C) 注 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|--|----------------------------------|-----|---|---|
| II-58 | CF ₃ | Cl | SCF ₃ | CH ₂ Cl | CCl | 0 | |
| II-59 | CF ₃ | Cl | SCF ₃ | CH ₂ OCH ₃ | CCl | 0 | |
| II-60 | CF ₃ | Cl | SCF ₃ | CHO | CCl | 0 | |
| II-61 | CF ₃ | Cl | SCF ₃ | CN | CCl | 0 | |
| II-62 | CF ₃ | Cl | SOCF ₃ | CN | CCl | 0 | |
| II-63 | CF ₃ | Cl | SCFCl ₂ | CH ₃ | CCl | 0 | |
| II-64 | CF ₃ | Cl | CH ₃ | SCF ₃ | CCl | 0 | |
| II-65 | CF ₃ | Cl | CH ₃ | SOCF ₃ | CCl | 0 | |
| II-66 | CF ₃ | Cl | CN | SCF ₃ | CCl | 0 | |
| II-67 | CF ₃ | Cl | CN | SOCF ₃ | CCl | 0 | |
| II-68 | CF ₃ | Cl | CN | SO ₂ CF ₃ | CCl | 0 | |
| II-69 | CF ₃ | Cl | SCH ₂  | CH ₃ | CCl | S | 1.5713 |
| II-70 | CF ₃ | Cl | SOCFCl ₂ | CH ₃ | CCl | S | 1.5712 |
| II-71 | CF ₃ | Cl | SC ₂ F ₅ | CH ₃ | CCl | S | 1.5059 |
| II-72 | CF ₃ | Cl | SCFCl ₂ | H | CCl | S | 1.5751 |
| II-73 | CF ₃ | Cl | SOCFCl ₂ | H | CCl | S | 121-122 |
| II-74 | CF ₃ | Cl | SC ₃ F _{7-i} | CH ₃ | CCl | S | 1.5069 |
| II-75 | CF ₃ | Cl | SC ₃ F _{7-n} | CH ₃ | CCl | S | 1.4870 |
| II-76 | CF ₃ | Cl | SCF ₃ | CH ₂ F | CCl | S | 1.5236 |
| II-77 | CF ₃ | Cl | SO ₂ CFCl ₂ | CH ₃ | CCl | S | 126-128 |
| II-78 | CF ₃ | Cl | SCCl ₂ CF ₃ | CH ₃ | CCl | S | 1.5340 |
| II-79 | CF ₃ | Cl | SCFCl ₂ | NH ₂ | CCl | S | 126-128 |
| II-80 | CF ₃ | Cl | SOCFCl ₂ | NH ₂ | CCl | S | 167-169 |
| II-81 | CF ₃ | Cl | SCH ₃ | CHF ₂ | CCl | S | 60- 61 |
| II-82 | CF ₃ | Cl | SOCH ₃ | CHF ₂ | CCl | S | 101-102 |
| II-83 | CF ₃ | Cl | SCCl ₃ | CH ₃ | CCl | S | 1.5815 |
| II-84 | CF ₃ | Cl | SBt | H | CCl | S | 1.5723 |
| II-85 | CF ₃ | Cl | SCH ₂ CF ₃ | H | CCl | S | 1.5451 |
| II-86 | CF ₃ | H | SCH ₃ | H | CH | S | 70- 71 |
| II-87 | CF ₃ | H | SOCH ₃ | H | CH | S | 132-135 |
| II-88 | CF ₃ | H | SC ₃ H _{7-n} | H | CH | S | 34- 35 |

[0041]

[Table 9]

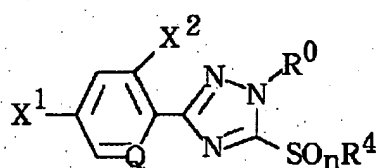
| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | Q | W | 熔点(°C) 注 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|----------------------------------|----------------|----|---|---|
| II-89 | CF ₃ | H | SCFCl ₂ | H | CH | S | 135-137 |
| II-90 | CF ₃ | H | SCF ₃ | H | CH | S | 1.5299 |
| II-91 | CF ₃ | Cl | SCH ₃ | H | CH | S | 70- 71 |
| II-92 | CF ₃ | Cl | SOCH ₃ | H | CH | S | 99-100 |
| II-93 | CF ₃ | Cl | SC ₃ H _{7-n} | H | CH | S | 1.5885 |
| II-94 | CF ₃ | Cl | SCF ₃ | H | CH | S | 50- 51 |
| II-95 | CF ₃ | Cl | SCFCl ₂ | H | CH | S | 1.5925 |

[0042]
[Table 10]



| 化合物 番 号 | X ¹ | X ² | R ³ | R ⁴ | Q | n | 融点(°C) 比 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------|-----------------|-----|---|---|
| III - 1 | CF ₃ | Cl | H | CH ₃ | CH | 0 | |
| III - 2 | CF ₃ | Cl | H | CH ₃ | CH | 1 | |
| III - 3 | CF ₃ | Cl | H | CH ₃ | CH | 2 | |
| III - 4 | CF ₃ | Cl | H | CF ₃ | CCl | 0 | |
| III - 5 | CF ₃ | Cl | H | CF ₃ | CCl | 1 | |
| III - 6 | CF ₃ | Cl | H | CF ₃ | CCl | 2 | |
| III - 7 | CF ₃ | Cl | CH ₃ | CF ₃ | CCl | 0 | |
| III - 8 | CF ₃ | Cl | CN | CF ₃ | CCl | 0 | |
| III - 9 | CF ₃ | Cl | CN | CF ₃ | CCl | 1 | |
| III - 10 | CF ₃ | Cl | CN | CF ₃ | CCl | 2 | |
| III - 11 | CF ₃ | Cl | Cl | CF ₃ | CCl | 0 | |
| III - 12 | CF ₃ | Cl | Cl | CF ₃ | CCl | 1 | |
| III - 13 | CF ₃ | Cl | Cl | CF ₃ | CCl | 2 | |

[0043]
[Table 11]



| 化合物 番 号 | X ¹ | X ² | R ⁰ | R ⁴ | Q | n | 融点(℃) ±0.5 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------|---------------------------------|-----|---|---|
| IV- 1 | CF ₃ | H | CH ₃ | CF ₃ | CH | 0 | 114-116 |
| IV- 2 | CF ₃ | H | CH ₃ | CF ₃ | CH | 1 | 88- 90 |
| IV- 3 | CF ₃ | H | CH ₃ | CFC1 ₂ | CH | 0 | 88- 90 |
| IV- 4 | CF ₃ | H | CH ₃ | CFC1 ₂ | CH | 1 | |
| IV- 5 | CF ₃ | H | CH ₃ | CH ₂ CF ₃ | CH | 0 | |
| IV- 6 | CF ₃ | H | CH ₃ | CH ₂ CF ₃ | CH | 1 | |
| IV- 7 | CF ₃ | H | CH ₃ | CH ₂ | CH | 0 | 47- 50 |
| IV- 8 | CF ₃ | H | CH ₃ | CH ₂ | CH | 1 | 99-100 |
| IV- 9 | CF ₃ | Cl | CH ₃ | CF ₃ | CH | 0 | 61- 62 |
| IV-10 | CF ₃ | Cl | CH ₃ | CF ₃ | CH | 1 | |
| IV-11 | CF ₃ | Cl | CH ₃ | CFC1 ₂ | CH | 0 | |
| IV-12 | CF ₃ | Cl | CH ₃ | CFC1 ₂ | CH | 1 | |
| IV-13 | CF ₃ | Cl | CH ₃ | CH ₂ CF ₃ | CH | 0 | |
| IV-14 | CF ₃ | Cl | CH ₃ | CH ₂ CF ₃ | CH | 1 | |
| IV-15 | CF ₃ | Cl | CH ₃ | CH ₂ | CH | 0 | 1.5509 |
| IV-16 | CF ₃ | Cl | CH ₃ | CH ₂ | CH | 1 | |
| IV-17 | Cl | Cl | CH ₃ | CF ₃ | CH | 0 | 78- 80 |
| IV-18 | Cl | Cl | CH ₃ | CF ₃ | CH | 1 | |
| IV-19 | Cl | Cl | CH ₃ | CFC1 ₂ | CH | 0 | |
| IV-20 | Cl | Cl | CH ₃ | CFC1 ₂ | CH | 1 | |
| IV-21 | Cl | Cl | CH ₃ | CH ₂ CF ₃ | CH | 0 | |
| IV-22 | Cl | Cl | CH ₃ | CH ₂ CF ₃ | CH | 1 | |
| IV-23 | Cl | Cl | CH ₃ | CF ₃ | CCl | 0 | 1.5670 |
| IV-24 | Cl | Cl | CH ₃ | CF ₃ | CCl | 1 | |
| IV-25 | Cl | Cl | CH ₃ | CFC1 ₂ | CCl | 0 | 1.6011 |
| IV-26 | Cl | Cl | CH ₃ | CFC1 ₂ | CCl | 1 | |

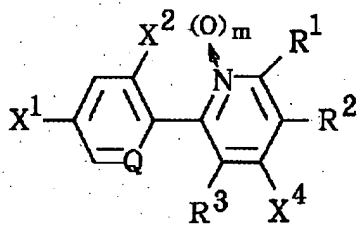
[0044]

[Table 12]

| 化合物 番 号 | X ¹ | X ² | R ⁰ | R ⁴ | Q | n | 融点(°C) ±0.5 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------|---|-----|---|--|
| IV-27 | H | Cl | CH ₃ | CF ₃ | CH | 0 | 55- 56 |
| IV-28 | H | Cl | CH ₃ | CF ₃ | CCl | 0 | 1.5459 |
| IV-29 | H | Cl | CH ₃ | CF ₃ | CCl | 1 | |
| IV-30 | H | Cl | CH ₃ | CH ₂ CF ₃ | CCl | 0 | |
| IV-31 | H | Cl | CH ₃ | CH ₂ CF ₃ | CCl | 1 | |
| IV-32 | H | F | CH ₃ | CF ₃ | CCl | 0 | |
| IV-33 | H | F | CH ₃ | CF ₃ | CCl | 1 | 1.5232 |
| IV-34 | H | F | CH ₃ | CFCl ₂ | CCl | 0 | 1.5723 |
| IV-35 | H | F | CH ₃ | CFCl ₂ | CCl | 1 | |
| IV-36 | H | F | CH ₃ | C ₃ F ₇ -n | CCl | 0 | 1.4788 |
| IV-37 | H | F | CH ₃ | C ₃ F ₇ -n | CCl | 1 | |
| IV-38 | H | F | CH ₃ | C ₅ F ₁₁ -i | CCl | 0 | |
| IV-39 | H | F | CH ₃ | C ₅ F ₁₁ -i | CCl | 1 | |
| IV-40 | H | F | CH ₃ | CH ₂ CF ₃ | CCl | 0 | 1.5282 |
| IV-41 | H | F | CH ₃ | CH ₂ CF ₃ | CCl | 1 | |
| IV-42 | H | F | CH ₃ | CH ₂ CF ₂ CF ₂ H | CCl | 0 | |
| IV-43 | H | F | CH ₃ | CH ₂ CF ₂ CF ₂ H | CCl | 1 | |
| IV-44 | F | F | CH ₃ | CF ₃ | CF | 0 | 63- 64 |
| IV-45 | F | F | CH ₃ | CF ₃ | CF | 1 | 1.4984 |
| IV-46 | CF ₃ | Cl | CH ₃ | CF ₃ | CCl | 0 | |
| IV-47 | CF ₃ | Cl | CH ₃ | CF ₃ | CCl | 1 | |
| IV-48 | CF ₃ | Cl | CH ₃ | CFCl ₂ | CCl | 0 | |
| IV-49 | CF ₃ | Cl | CH ₃ | CFCl ₂ | CCl | 1 | |

[0045]

[Table 13]



| 化合物 番 号 | X ¹ | X ² | X ⁴ | R ¹ | R ² | R ³ | Q | m | 熔点(°C) 玻璃折 率(n _D ²⁰) |
|------------|-----------------|----------------|----------------|----------------|-----------------------------------|----------------|-----|---|---|
| V-1 | CF ₃ | H | H | H | SCCH ₃ | H | CH | 0 | 121-122 |
| V-2 | CF ₃ | H | H | H | SOCH ₃ | H | CH | 0 | 127-129 |
| V-3 | CF ₃ | H | H | H | SCF ₃ | H | CH | 0 | 59-61 |
| V-4 | CF ₃ | H | H | H | SOCF ₃ | H | CH | 0 | |
| V-5 | CF ₃ | H | H | H | SCFC1 ₂ | H | CH | 0 | 69-70 |
| V-6 | CF ₃ | H | H | H | SOFC1 ₂ | H | CH | 0 | |
| V-7 | CF ₃ | H | H | H | SCH ₂ CF ₃ | H | CH | 0 | <30 |
| V-8 | CF ₃ | H | H | H | SOCH ₂ CF ₃ | H | CH | 0 | |
| V-9 | CF ₃ | H | H | H | SCH ₃ | H | CC1 | 0 | 1.5750 |
| V-10 | CF ₃ | H | H | H | SOCH ₃ | H | CC1 | 0 | 65-67 |
| V-11 | CF ₃ | H | H | H | SCF ₃ | H | CC1 | 0 | 1.5175 |
| V-12 | CF ₃ | H | H | H | SOCF ₃ | H | CC1 | 0 | 1.5334 |
| V-13 | CF ₃ | H | H | H | SO ₂ CF ₃ | H | CC1 | 0 | 80-81 |
| V-14 | CF ₃ | H | H | H | SCF ₃ | H | CC1 | 1 | 78-80 |
| V-15 | CF ₃ | H | H | H | SOCF ₃ | H | CC1 | 1 | 159-160 |
| V-16 | CF ₃ | H | H | H | SCFC1 ₂ | H | CC1 | 0 | 1.5828 |
| V-17 | CF ₃ | H | H | H | SOFC1 ₂ | H | CC1 | 0 | 94-95 |
| V-18 | CF ₃ | H | H | H | SCH ₂ CF ₃ | H | CC1 | 0 | |
| V-19 | CF ₃ | H | H | H | SOCH ₂ CF ₃ | H | CC1 | 0 | |
| V-20 | CF ₃ | H | H | H | SCH ₂ △ | H | CC1 | 0 | 51-52 |
| V-21 | CF ₃ | H | H | H | SOCH ₂ △ | H | CC1 | 0 | |
| V-22 | CF ₃ | Cl | H | H | SCH ₃ | H | CC1 | 0 | 1.5859 |
| V-23 | CF ₃ | Cl | H | H | SOCH ₃ | H | CC1 | 0 | 105-106 |
| V-24 | CF ₃ | Cl | H | H | SCF ₃ | H | CC1 | 0 | 測定不可 |
| V-25 | CF ₃ | Cl | H | H | SOCF ₃ | H | CC1 | 0 | |

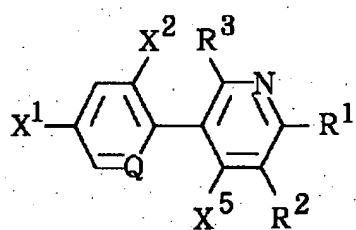
[0046]

[Table 14]

| 化合物 番 号 | X ¹ | X ² | X ⁴ | R ¹ | R ² | R ³ | Q | m | 融点(℃) 及折光率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------------------------|-----------------------------------|---------------------|----------------|-----|---|--|
| V-26 | CF ₃ | Cl | H | H | SCFCl ₂ | H | CCl | 0 | 1.5600 |
| V-27 | CF ₃ | Cl | H | H | SOCPCl ₂ | H | CCl | 0 | |
| V-28 | CF ₃ | H | H | SCF ₃ | H | H | CH | 0 | |
| V-29 | CF ₃ | H | H | SOCH ₃ | H | H | CH | 0 | |
| V-30 | CF ₃ | H | H | SC ₃ H ₇ -i | H | H | CH | 0 | 1.5576 |
| V-31 | CF ₃ | H | H | SO ₃ H ₇ -i | H | H | CH | 0 | 1.5531 |
| V-32 | CF ₃ | H | H | SC ₃ H ₇ -i | CN | H | CH | 0 | 153-155 |
| V-33 | CF ₃ | H | H | SCF ₂ CF ₃ | CN | H | CH | 0 | |
| V-34 | CF ₃ | H | H | SOCH ₂ CF ₃ | CN | H | CH | 0 | |
| V-35 | CF ₃ | H | H | SCF ₂ △ | CN | H | CH | 0 | |
| V-36 | CF ₃ | H | H | SOCH ₂ △ | CN | H | CH | 0 | |
| V-37 | CF ₃ | H | H | SCF ₂ CF ₃ | CH ₃ | H | CH | 0 | |
| V-38 | CF ₃ | H | H | SOCH ₂ CF ₃ | CH ₃ | H | CH | 0 | |
| V-39 | CF ₃ | H | H | SCF ₂ △ | CH ₃ | H | CH | 0 | |
| V-40 | CF ₃ | H | H | SOCH ₂ △ | CH ₃ | H | CH | 0 | |
| V-41 | CF ₃ | H | H | SCF ₂ CF ₃ | Cl | H | CH | 0 | |
| V-42 | CF ₃ | H | H | SOCH ₂ CF ₃ | Cl | H | CH | 0 | |
| V-43 | CF ₃ | H | H | SCF ₂ △ | Cl | H | CH | 0 | |
| V-44 | CF ₃ | H | H | SOCH ₂ △ | Cl | H | CH | 0 | |
| V-45 | CF ₃ | H | H | SCF ₂ CF ₃ | CH ₃ | F | CH | 0 | |
| V-46 | CF ₃ | H | H | SOCH ₂ CF ₃ | CH ₃ | F | CH | 0 | |
| V-47 | CF ₃ | H | H | SCF ₂ △ | CH ₃ | F | CH | 0 | |
| V-48 | CF ₃ | H | H | SOCH ₂ △ | CH ₃ | F | CH | 0 | |
| V-49 | CF ₃ | H | SCF ₂ CF ₃ | H | CH ₃ | H | CH | 0 | |
| V-50 | CF ₃ | H | SOCH ₂ CF ₃ | H | CH ₃ | H | CH | 0 | |
| V-51 | CF ₃ | H | SCF ₂ △ | H | CH ₃ | H | CH | 0 | |
| V-52 | CF ₃ | H | SOCH ₂ △ | H | CH ₃ | H | CH | 0 | |
| V-53 | CF ₃ | H | SCF ₂ CF ₃ | H | Cl | H | CH | 0 | |
| V-54 | CF ₃ | H | SOCH ₂ CF ₃ | H | Cl | H | CH | 0 | |
| V-55 | CF ₃ | H | SCF ₂ △ | H | Cl | H | CH | 0 | |
| V-56 | CF ₃ | H | SOCH ₂ △ | H | Cl | H | CH | 0 | |

[0047]

[Table 15]



| 化合物 番 号 | X ¹ | X ² | X ⁵ | R ¹ | R ² | R ³ | Q | 融点(°C) 注 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|----------------|-----------------|---|----------------|----|---|
| VI- 1 | CF ₃ | H | H | H | SCH ₃ | H | CH | 71- 72 |
| VI- 2 | CF ₃ | H | H | H | SOCH ₃ | H | CH | 100-101 |
| VI- 3 | CF ₃ | H | H | H | SO ₂ CH ₃ | H | CH | 181-182 |
| VI- 4 | Cl | H | H | H | SCH ₃ | H | CH | 62- 84 |
| VI- 5 | CF ₃ | H | H | H | SC ₃ H ₇ -i | H | CH | 1. 5502 |
| VI- 6 | CF ₃ | H | H | H | SOC ₃ H ₇ -i | H | CH | |
| VI- 7 | CF ₃ | H | H | H | SCF ₃ | H | CH | 1. 5148 |
| VI- 8 | CF ₃ | H | H | H | SOCF ₃ | H | CH | |
| VI- 9 | CF ₃ | H | H | H | SO ₂ CF ₃ | H | CH | |
| VI-10 | CF ₃ | H | H | H | SCH ₂ CF ₃ | H | CH | |
| VI-11 | CF ₃ | H | H | H | SOCH ₂ CF ₃ | H | CH | |
| VI-12 | CF ₃ | H | H | H | SO ₂ CH ₂ CF ₃ | H | CH | |
| VI-13 | CF ₃ | H | H | H | SCH ₂ △ | H | CH | 41- 42 |
| VI-14 | CF ₃ | H | H | H | SOCH ₂ △ | H | CH | |
| VI-15 | CF ₃ | H | H | CH ₃ | SCH ₂ CF ₃ | H | CH | |
| VI-16 | CF ₃ | H | H | CH ₃ | SOCH ₂ CF ₃ | H | CH | |
| VI-17 | CF ₃ | H | H | CH ₃ | SCH ₂ △ | H | CH | |
| VI-18 | CF ₃ | H | H | CH ₃ | SOCH ₂ △ | H | CH | |
| VI-19 | CF ₃ | H | H | CN | SCF ₃ | H | CH | |
| VI-20 | CF ₃ | H | H | CN | SOCF ₃ | H | CH | |
| VI-21 | CF ₃ | H | H | CN | SO ₂ CF ₃ | H | CH | |
| VI-22 | CF ₃ | H | H | CN | SCH ₂ CF ₃ | H | CH | |
| VI-23 | CF ₃ | H | H | CN | SOCH ₂ CF ₃ | H | CH | |
| VI-24 | CF ₃ | H | H | CN | SCH ₂ △ | H | CH | |
| VI-25 | CF ₃ | H | H | CN | SOCH ₂ △ | H | CH | |

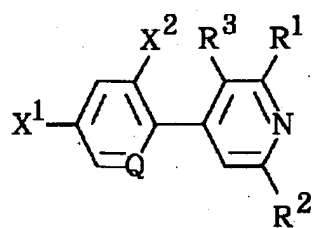
[0048]





[Table 16]

| 化合物 番 号 | X ¹ | X ² | X ⁵ | R ¹ | R ² | R ³ | Q | 融点(°C) ±10 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|-----------------|-----------------------------------|-----------------------------------|----------------|-----|---|
| VI-26 | CF ₃ | H | H | CH ₃ | SC ₂ H ₃ | F | CH | 1.6082 |
| VI-27 | CF ₃ | H | H | CH ₃ | SOCH ₂ CF ₃ | F | CH | |
| VI-28 | CF ₃ | H | H | CH ₃ | SC ₂ H ₃ | F | CH | |
| VI-29 | CF ₃ | H | H | CH ₃ | SOCH ₂ H ₃ | F | CH | |
| VI-30 | CF ₃ | H | H | H | SC ₃ H _{7-i} | H | CCl | |
| VI-31 | CF ₃ | H | H | H | SOC ₃ H _{7-i} | H | CCl | |
| VI-32 | CF ₃ | Cl | NH ₂ | CN | SCF ₃ | H | CCl | |
| VI-33 | CF ₃ | Cl | NH ₂ | CN | SOCF ₃ | H | CCl | |
| VI-34 | CF ₃ | Cl | NH ₂ | CN | SO ₂ CF ₃ | H | CCl | |
| VI-35 | CF ₃ | Cl | NH ₂ | H | SCFCl ₂ | H | CCl | |
| VI-36 | CF ₃ | Cl | NH ₂ | H | SOCFCl ₂ | H | CCl | |
| VI-37 | CF ₃ | Cl | NH ₂ | H | SO ₂ CFCl ₂ | H | CCl | |
| VI-38 | CF ₃ | H | H | Cl | SC ₂ H ₃ | H | CH | |
| VI-39 | CF ₃ | H | H | Cl | SOCH ₂ CF ₃ | H | CH | |
| VI-40 | CF ₃ | H | H | Cl | SC ₂ H ₃ | H | CH | |
| VI-41 | CF ₃ | H | H | Cl | SOCH ₂ H ₃ | H | CH | |
| VI-42 | CF ₃ | H | H | SC ₃ H _{7-i} | H | H | CH | |
| VI-43 | CF ₃ | H | H | SOC ₃ H _{7-i} | H | H | CH | |
| VI-44 | CF ₃ | H | H | SCF ₃ | H | H | CH | |
| VI-45 | CF ₃ | H | H | SOCF ₃ | H | H | CH | |
| VI-46 | CF ₃ | H | H | SO ₂ CF ₃ | H | H | CH | |

[0049]

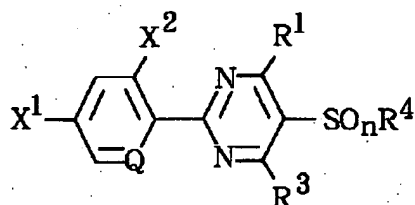
[Table 17]



| 化合物 番 号 | X ¹ | X ² | R ¹ | R ² | R ³ | Q | 融点(℃) 比旋 屈折率(n _D ²⁰) |
|------------|-----------------|----------------|----------------|---|----------------|-----|---|
| VII- 1 | CF ₃ | H | H | SC ₃ H ₇ -i | H | CH | 1.5588 |
| VII- 2 | CF ₃ | H | H | SOC ₃ H ₇ -i | H | CH | |
| VII- 3 | CF ₃ | H | H | SCH ₂ CF ₃ | H | CH | |
| VII- 4 | CF ₃ | H | H | SOCH ₂ CF ₃ | H | CH | |
| VII- 5 | CF ₃ | H | H | SCH ₂  | H | CH | |
| VII- 6 | CF ₃ | H | H | SOCH ₂  | H | CH | |
| VII- 7 | CF ₃ | H | H | SCH ₂ CF ₃ | H | CH | |
| VII- 8 | CF ₃ | H | H | SOCH ₂ CF ₃ | H | CH | |
| VII- 9 | CF ₃ | H | H | SCH ₂  | H | CH | |
| VII-10 | CF ₃ | H | H | SOCH ₂  | H | CH | |
| VII-11 | CF ₃ | Cl | H | SCF ₃ | H | CCl | |
| VII-12 | CF ₃ | Cl | H | SOCF ₃ | H | CCl | |
| VII-13 | CF ₃ | Cl | H | SO ₂ CF ₃ | H | CCl | |

[0050]

[Table 18]

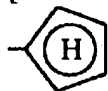


| 化合物 番 号 | X ¹ | X ² | R ¹ | R ³ | R ⁴ | Q | n | 融点(℃) 註 屈折率(n _D ²⁰) |
|------------|-----------------|---------------------------------|-------------------|----------------|----------------------------------|-----|---|--|
| VIII- 1 | CF ₃ | H | H | H | CH ₃ | CCl | 0 | 64- 65 |
| VIII- 2 | CF ₃ | H | H | H | CF ₃ | CCl | 0 | 1. 5291 |
| VIII- 3 | CF ₃ | H | H | H | CF ₃ | CCl | 1 | |
| VIII- 4 | CF ₃ | H | H | H | CH ₂ CF ₃ | CH | 0 | |
| VIII- 5 | CF ₃ | H | H | H | CH ₂ CF ₃ | CH | 1 | |
| VIII- 6 | CF ₃ | H | H | H | CH ₂ | CH | 0 | |
| VIII- 7 | CF ₃ | H | H | H | CH ₂ | CH | 1 | |
| VIII- 8 | CF ₃ | Cl | H | H | CF ₃ | CCl | 0 | |
| VIII- 9 | CF ₃ | Cl | H | H | CF ₃ | CCl | 1 | |
| VIII-10 | CF ₃ | H | OCH ₃ | H | CH ₃ | CCl | 0 | 44- 45 |
| VIII-11 | CF ₃ | H | OCH ₃ | H | CH ₃ | CCl | 1 | 108-110 |
| VIII-12 | CF ₃ | H | OCH ₃ | H | CF ₃ | CCl | 0 | 1. 5399 |
| VIII-13 | CF ₃ | H | OCH ₃ | H | CF ₃ | CCl | 1 | |
| VIII-14 | CF ₃ | H | OCH ₃ | H | C ₄ H ₉ -n | CCl | 0 | 59- 60 |
| VIII-15 | CF ₃ | H | OCH ₃ | H | C ₄ H ₉ -n | CCl | 1 | |
| VIII-16 | CF ₃ | H | SCCH ₃ | H | CF ₃ | CCl | 0 | 1. 5589 |
| VIII-17 | CF ₃ | H | Cl | H | CF ₃ | CCl | 0 | 1. 5379 |
| VIII-18 | CF ₃ | H | Cl | H | CF ₃ | CCl | 1 | |
| VIII-19 | CF ₃ | H | NH ₂ | H | CF ₃ | CCl | 0 | 117-119 |
| VIII-20 | CF ₃ | H | NH ₂ | H | CF ₃ | CCl | 1 | |
| VIII-21 | CF ₃ | Cl | NH ₂ | H | CF ₃ | CCl | 0 | |
| VIII-22 | CF ₃ | Cl | NH ₂ | H | CF ₃ | CCl | 1 | |
| VIII-23 | CF ₃ | Cl | NH ₂ | H | CFC1 ₂ | CCl | 0 | |
| VIII-24 | CF ₃ | Cl | NH ₂ | H | CFC1 ₂ | CCl | 1 | |
| VIII-25 | CF ₃ | SCH ₃ | OCH ₃ | H | CH ₃ | CCl | 0 | 1. 5649 |
| VIII-26 | CF ₃ | SO ₂ CH ₃ | OCH ₃ | H | CH ₃ | CCl | 2 | |

[0051] Although this invention compound expressed with a general formula [I] can be manufactured according to the manufacturing method shown below, it is not limited to these approaches. In addition, the heteroaryl radical of [A-1] to [A-11] of this invention compound expressed with a general formula [I] is outlined as follows, and is expressed.

[0052]

[Formula 17]

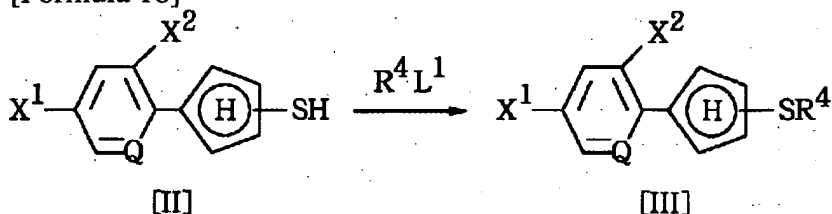


[0053] The heteroaryl radical of this invention compound expressed with a <manufacturing method 1> general formula [I] can be manufactured as the raw material

using a sulfhydryl group, although it always permutes in the R₄S(O)_n set.

[0054]

[Formula 18]



(L₁ shows a halogen atom, an alkylsulfonyloxy radical, a phenylsulfonyloxy radical, or SO₂M among a formula, M shows metals, such as sodium or a potassium, and X₁, X₂, R₄, and Q show the same semantics as the above.)

[0055] That is, a diaryl sulfide derivative to be expressed with a general formula [III] can be obtained by making a mol react among the suitable solvent 0.5 - 10l. to one mol of compounds expressed with a general formula [II] under existence of a base 1 - a 5 time mol, or the radical initiator 1 - a 5 time mol the compound 1 expressed with general formula R₄L₁ - 5 times.

[0056] As a solvent, here, for example Ether, such as diethylether, a tetrahydrofuran, and dioxane, Aromatic hydrocarbon, such as benzene, toluene, a xylene, and a chlorobenzene Halogenated hydrocarbon, such as dichloromethane, chloroform, and a dichloroethane N,N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, Aprotic polar solvents, such as dimethyl sulfoxide and a sulfolane, a methanol, Nitril, such as alcohols, such as ethanol and isopropyl alcohol, an acetonitrile, and propionitrile Pyridines and water, or these mixed solvents, such as aliphatic hydrocarbon, such as ester, such as ethyl acetate or ethyl propionate, a pentane, a hexane, a cyclohexane, and a heptane, a pyridine, or picoline, can be illustrated.

[0057] As a base, for example The hydroxide of alkali metal, such as a sodium hydroxide and a potassium hydroxide, The hydroxide of alkaline earth metal, such as a calcium hydroxide and a magnesium hydroxide, The carbonates of alkali metal, such as a sodium carbonate and potassium carbonate, a sodium hydrogencarbonate, Inorganic bases, such as bicarbonates of alkali metal, such as a potassium hydrogencarbonate, sodium hydride, Metal hydrides, such as potassium hydride, sodium methoxide, a sodium ethoxide, Potassium Organic bases, such as metal salts [of alcohol, such as tert-butoxide,] or triethylamine, N,N-dimethylaniline, pyridine, 4-N, and N-dimethylamino pyridine, 1, and 8-diazabicyclo [5.4.0]-7-undecene, can be illustrated.

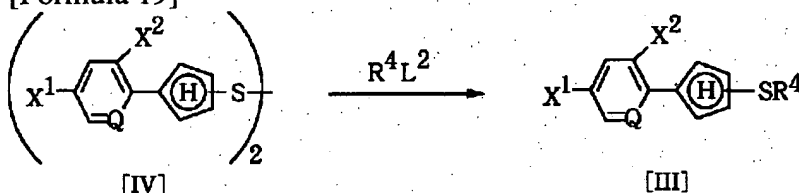
[0058] As a radical initiator, sulfurous-acid addition products, such as a sulfurous acid, a sulfite, and a Rongalite, etc. can be illustrated, for example. Moreover, a base and a radical initiator may be used together.

[0059] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a 0 degree C - 150 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0060] The compound expressed with the general formula [IV] which is the oxidation-dimer of a compound expressed with the general formula [II] used by the manufacturing method 1 as a raw material of this invention compound expressed with a <manufacturing method 2> general formula [III] can also be used.

[0061]

[Formula 19]



(L2 shows a halogen atom or sulfinate among a formula, and X1, X2, R4, and Q show the same semantics as the above.)

[0062] That is, a diaryl sulfide derivative to be expressed with a general formula [III] can be obtained by making a mol react among the suitable solvent 0.5 - 10l. to one mol of compounds expressed with a general formula [IV] under existence of the radical initiator (for it to be the same as that of the publication of a manufacturing method 1.) 1 - a 5 time mol the compound 1 expressed with general formula R4L2 - 5 times.

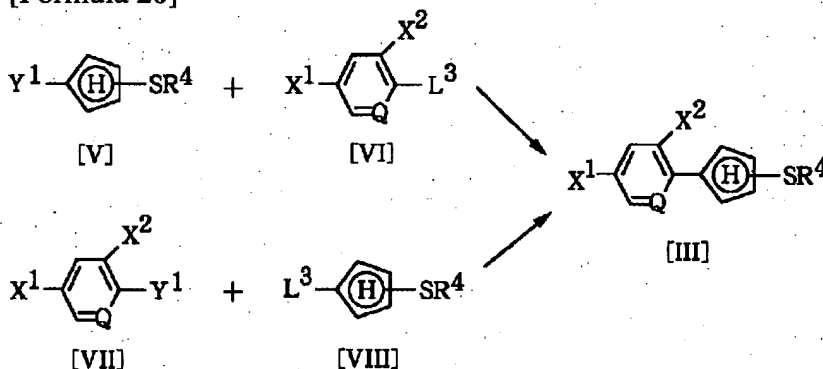
[0063] As a solvent, here, for example Ether, such as diethylether, a tetrahydrofuran, and dioxane, Aromatic hydrocarbon, such as benzene, toluene, a xylene, and a chlorobenzene N.N-dimethylformamide, N.N-dimethylacetamide, a N-methyl-2-pyrrolidone, Nitril, such as aprotic polar solvents, such as dimethyl sulfoxide and a sulfolane, an acetonitrile, and propionitrile Pyridines and water, or these mixed solvents, such as aliphatic hydrocarbon, such as ester, such as ethyl acetate or ethyl propionate, a pentane, a hexane, a cyclohexane, and a heptane, a pyridine, or picoline, can be illustrated.

[0064] Moreover, the base illustrated by the manufacturing method 1 to the radical initiator may be used together.

[0065] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a 0 degree C - 150 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0066] <Manufacturing method 3> [0067]

[Formula 20]



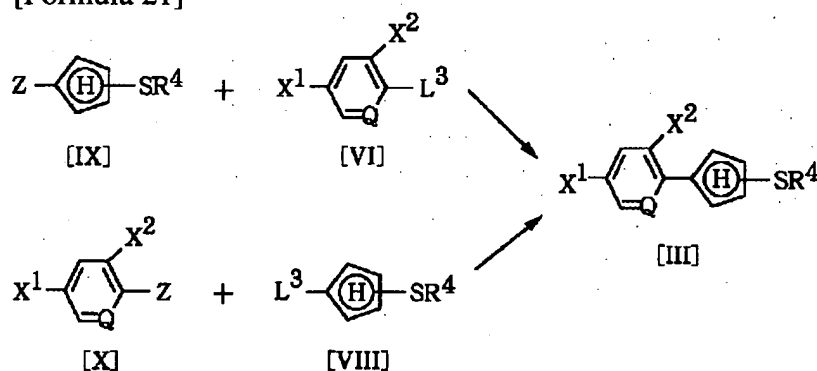
(Y1 shows a hydrogen atom or a halogen atom [a bromine or an iodine atom is desirable] among a formula, L3 shows a halogen atom [a fluorine atom is desirable], and X1, X2, R4, and Q show the same semantics as the above.)

[0068] As opposed to one mol of compounds expressed with a general formula [V] or a general formula [VII] Metal (a lithium or magnesium can be illustrated.) Or an organometallic compound (n-butyl lithium etc. can be illustrated.) After making 1 - 2

double mol react in 0.5-10l. of suitable inert solvents, a diaryl sulfide derivative to be expressed with a general formula [III] can be obtained by making a mol react the compound 1 expressed with a general formula [VI] or a general formula [VIII] - 5 times. [0069] As a solvent, pyridines or these mixed solvents, such as aliphatic hydrocarbon, such as aromatic hydrocarbon, such as ether, such as diethylether, a tetrahydrofuran, and dioxane, benzene, toluene, a xylene, and a chlorobenzene, a pentane, a hexane, a cyclohexane, and a heptane, a pyridine, or picoline, can be illustrated here, for example. [0070] Reaction temperature is performed at the temperature of the arbitration from -70 degrees C to the reflux temperature in the system of reaction, it is a -60 degrees C - 60 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0071] <Manufacturing method 4> [0072]

[Formula 21]



(the inside of a formula, and Z -- dihydroxy [radical / trialkyl SUTANIRU / [a trimethyl SUTANIRU radical is desirable]] -- a bora -- a nil radical or dialkoxy one -- a bora -- nil radical [dimethoxy -- a bora --] with a desirable nil radical is shown and the same semantics as the above is shown [3 / X¹, X², R⁴, Q, and / [a bromine or an iodine atom is desirable] / L].)

[0073] A mol to one mol of compounds expressed with a general formula [IX] or a general formula [X] the compound 1 expressed with a general formula [VI] or a general formula [VIII] - 5 times A suitable inert solvent (it is the same as that of the publication of a manufacturing method 1.) The inside of 0.5-10l., a base (it is the same as that of the publication of a manufacturing method 1.) By making it react under existence of an one to 5 time mol and a 0.01 to 1 time many transition metal catalyst [as this] mol, a diaryl sulfide derivative to be expressed with a general formula [III] can be obtained.

[0074] As a transition metal catalyst, nickel compounds, such as palladium compounds, such as acetic-acid palladium, dichlorobis (triphenyl phosphine) palladium, tetrakis (triphenyl phosphine) palladium, and tris (dibenzal acetone) palladium, bis(triphenyl phosphine) nickel chloride, and tetrakis (triphenyl phosphine) nickel, etc. can be illustrated here.

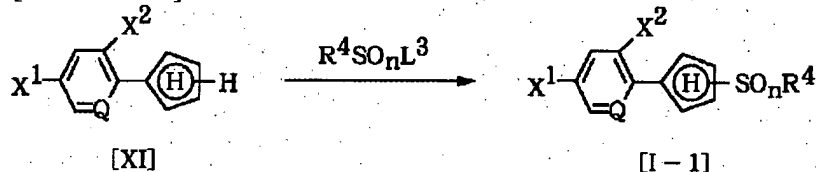
[0075] Reaction temperature is performed at the temperature of the arbitration from -70 degrees C to the reflux temperature in the system of reaction, it is a -20 degrees C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0076] By permuting the hydrogen atom in a <manufacturing method 5> heteroaryl radical in R₄S(O)_n set, this invention compound expressed with a general formula [I-1]

can be manufactured.

[0077]

[Formula 22]



(X1, X2, R4, Q, L3, and n show the same semantics as the above among a formula.)

[0078] That is, a diaryl sulfide derivative to be expressed with a general formula [I-1] can be obtained by making a mol react under existence of a base 1 - a 5 time mol or nonexistence among the suitable inert solvent 0.5 - 10l. to one mol of compounds expressed with a general formula [XI] the compound 1 expressed with general formula R4S(O) nL3 - 5 times. Here, the suitable acid catalyst (Lewis acid, such as inorganic acids, such as a sulfuric acid and Para toluenesulfonic acid, or an organic acid and an aluminum chloride, a titanium chloride, and ferric chloride, can be illustrated.) 0.01 - 2 double mol may be added by the case.

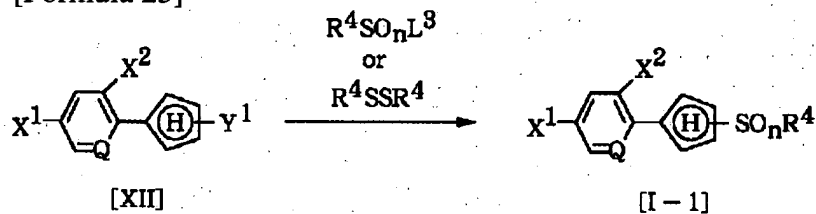
[0079] As a solvent, carboxylic acids, carbon disulfides, etc., such as halogenated hydrocarbon, such as aromatic series, such as a chlorobenzene and a nitrobenzene, a carbon tetrachloride, and chloroform, and an acetic acid, can be illustrated here, for example.

[0080] As a base, organic bases, such as bicarbonates [of alkali metal, such as carbonates of alkali metal, such as a sodium carbonate and potassium carbonate a sodium hydrogencarbonate and a potassium hydrogencarbonate,] and triethylamine, N,N-dimethylaniline, pyridine, 4-N, and N-dimethylamino pyridine, 1, and 8-diazabicyclo [5.4.0]-7-undecene, can be illustrated, for example.

[0081] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 120 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0082] <Manufacturing method 6> [0083]

[Formula 23]



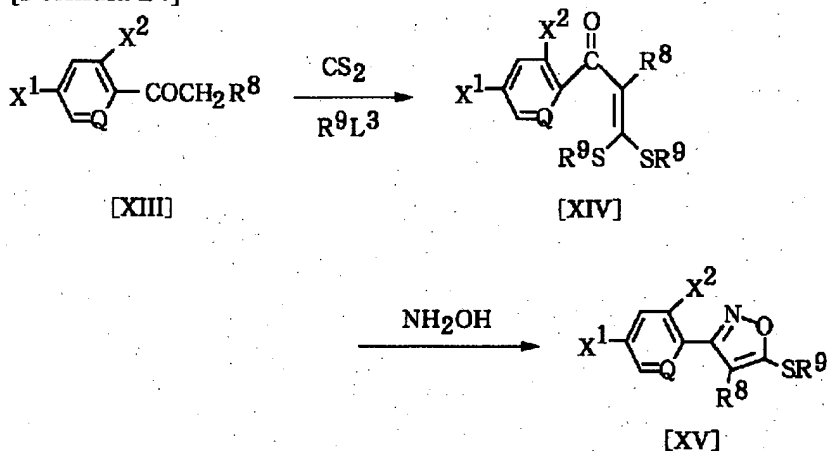
(Y1, L3, X1, X2, R4, Q, and n show the same semantics as the above among a formula.)

[0084] As opposed to one mol of compounds expressed with a general formula [XII] a mol a metal (a lithium or magnesium can be illustrated.) or an organometallic compound (n-butyl lithium etc. can be illustrated.) 1 - 3 times A suitable inert solvent (it is the same as that of the publication of a manufacturing method 3.) After making it react in 0.5-10l., a diaryl sulfide derivative to be expressed with a general formula [I-1] can be obtained by making a mol react the compound 1 expressed with general formula R4S(O) nL3 or general formula R4SSR4 - 5 times.

[0085] Reaction temperature is performed at the temperature of the arbitration from -70 degrees C to the reflux temperature in the system of reaction, it is a -40 degrees C - 70 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0086] <Manufacturing method 7> [0087]

[Formula 24]



(R8 shows a hydrogen atom or an alkyl group among a formula, R9 shows an alkyl group, and L3, X1, X2, and Q show the same semantics as the above.)

[0088] A mol to one mol of compounds expressed with a general formula [XIII] the alkyl halide 2 expressed with a mol and general formula R9L3 a carbon disulfide 1 - 3 times - 6 times The suitable solvent after obtaining the compound which is made to react under existence of a 2 to 6 times many inside [of 0.5-10l. of suitable inert solvents] and base [as this] mol, and is expressed with a general formula [XIV] (it is the same as that of the publication of a manufacturing method 1.) The inside of 0.5-10l., a base (it is the same as that of the publication of a manufacturing method 1.) A diaryl sulfide derivative to be expressed with a general formula [XV] can be obtained under existence of an one to 5 time mol by making it react with a 1 to 5 times many hydroxylamine mineral-acid salt [as this] mol.

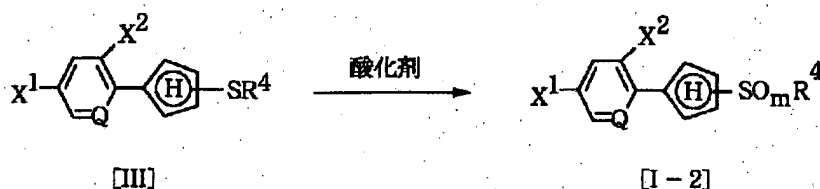
[0089] As a solvent used for the reaction of the compound expressed with alkyl halide and a general formula [XIII], aprotic polar solvents, such as ether, such as a tetrahydrofuran or dioxane, or N,N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, dimethyl sulfoxide, and a sulfolane, etc. can be illustrated, for example.

[0090] As a base, they are metal hydrides, such as a hydroxide of alkaline earth metal, such as a hydroxide of alkali metal, such as a sodium hydroxide and a potassium hydroxide, a calcium hydroxide, and a magnesium hydroxide, sodium hydride, and potassium hydride, or sodium methoxide, a sodium ethoxide, and a potassium, for example. The metal salts of alcohol, such as tert-butoxide, can be illustrated.

[0091] Reaction temperature carries out at the temperature of the arbitration from -20 degrees C to the reflux temperature in the system of reaction, it is a 0 degree C - 150 degrees C temperature requirement preferably, and a reaction ends it in 10 minutes - 20 hours, although any reaction changes with compounds.

[0092] <Manufacturing method 8> [0093]

[Formula 25]



(m shows 1 or 2 among a formula, and X¹, X², R⁴, and Q show the same semantics as the above.)

[0094] A diaryl sulfide derivative to express with a general formula [I-2] one mol of this invention compounds expressed with a general formula [III] by oxidizing by the mol the suitable oxidizing agent 1 - 6 times among the suitable solvent 0.5 - 10l. can be obtained. Here, a suitable 0.01 to 1 time many catalyst [as this] (for example, sodium tungstate can be illustrated.) mol may be added by the case.

[0095] As an oxidizer, they are a hydrogen peroxide, m-chloro perbenzoic acid, sodium periodate, oxone (OXONE, an I eye Du Pont trade name; persulfuric acid hydrogen potassium inclusion), N-chloro succinimide, N-bromosuccinimide, and a hypochlorous acid, for example. tert-butyl or a sodium hypochlorite can be illustrated.

[0096] As a solvent, for example Ether, such as diethylether, a tetrahydrofuran, and dioxane, Aromatic hydrocarbon, such as benzene, toluene, a xylene, and a chlorobenzene N,N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, Aprotic polar solvents, such as dimethyl sulfoxide and a sulfolane, a methanol, Alcohols, such as ethanol and isopropyl alcohol, a methylene chloride, Ketones, such as aliphatic hydrocarbon, such as halogenated hydrocarbon, such as chloroform and a dichloroethane, a pentane, a hexane, a cyclohexane, and a heptane, an acetone, a methyl ethyl ketone, and a cyclohexanone, an acetic acid and water, or these mixed solvents can be illustrated.

[0097] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0098] this invention compound expressed with a general formula [I-1] can manufacture this invention compound itself as a raw material like a manufacturing method 8. That is, this invention compound expressed with a new general formula [I-1] can be obtained from this invention compound by performing functional-group installation or functional-group conversion.

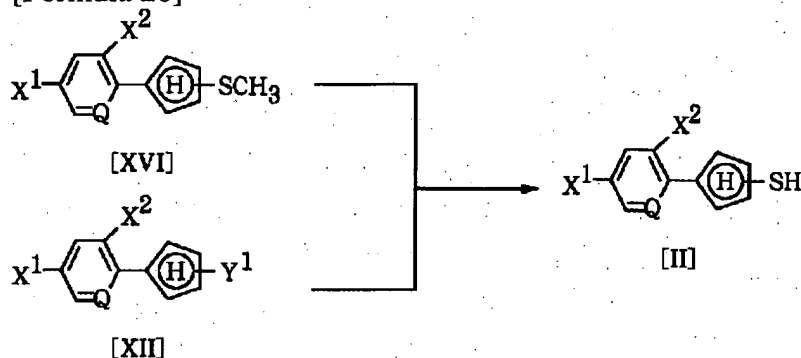
[0099] as functional-group installation and a functional-group transformation method -- reference -- well-known -- an approach The 2nd volume (ComprehensiveHeterocyclic Chemistry, Pergamon Press) of for example, comprehensive hetero cyclic chemistry, 165th page - the 364th page, the 3rd volume, 68th page - the 105th page, the 4th volume, It can carry out according to 599th page - the 656th page, 742nd page - the 861st page, the 6th volume, 12th page - the 60th page, 153rd page - the 167th page, 187th page - the 216th page, the approach of a 249th page - page [293rd] publication, etc. However, it is not limited only to these approaches.

[0100] Next, the synthesis method of the manufacture intermediate field of this invention compound is explained to manufacturing methods 9-12 at a detail.

[0101] <Manufacturing method 9> Composition of the manufacture intermediate field expressed with a general formula [II].

[0102]

[Formula 26]



(Y¹, X¹, X², and Q show the same semantics as the above among a formula.)

[0103] One mol of compounds expressed with a general formula [XVI] The inside of the suitable solvent (it is the same as that of the publication of a manufacturing method 8.)

0.5 - 10l., A suitable oxidizer (it is the same as that of the publication of a manufacturing method 8.) By processing by the acetic anhydride or the anhydrous 1 to 5 times as many trifluoroacetic acid [as this] mol, performing a PUMMERA rearrangement reaction, making it into a corresponding acyloxy methyl sulfide, and hydrolyzing this, after oxidizing by the mol one to 3 times and considering as methyl sulfoxide The purpose compound expressed with a general formula [II] can be obtained.

[0104] Or after processing one mol of compounds expressed with a general formula [XII] by the mol a chlorosulfonic acid 1 - 5 times and forming them into a chloro sulfonyl, the purpose compound expressed with a general formula [II] can be obtained by returning this using a mol zinc, an acid, tin and an acid or ***** and iodine 1 - 5 times.

[0105] Furthermore, after processing one mol of compounds expressed with a general formula [XII] by the mol a metal or an organometallic compound (it is the same as that of the publication of a manufacturing method 3.) 1 - 3 times among the suitable inert solvent (it is the same as that of the publication of a manufacturing method 6.) 0.5 - 10l., the purpose compound expressed with a general formula [II] can be obtained by making a mol react sulfur 1 - 5 times.

[0106] Reaction temperature carries out at the temperature of the arbitration from -70 degrees C to the reflux temperature in the system of reaction, it is a -20 degrees C - 100 degrees C temperature requirement preferably, and a reaction ends it in 10 minutes - 20 hours, although any reaction changes with compounds.

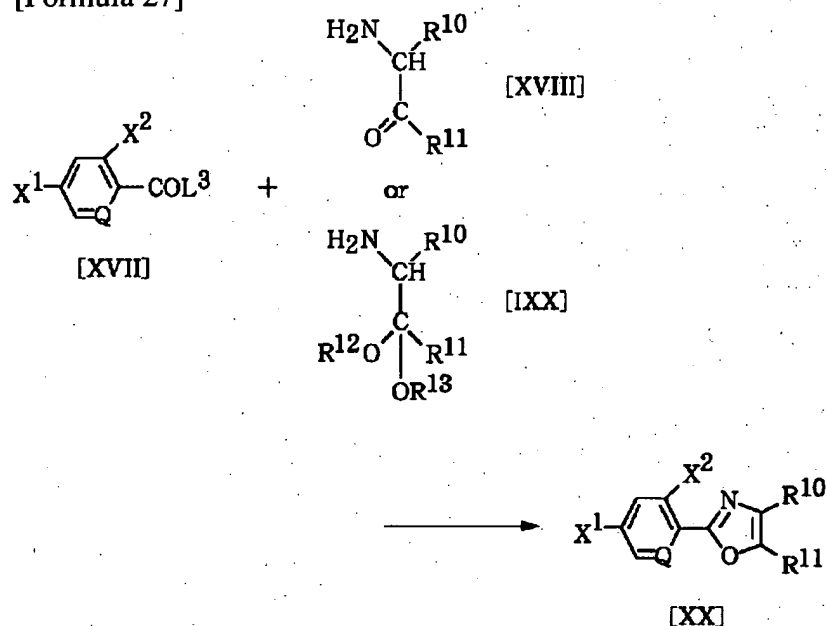
[0107] When the inside Y¹ of the compound expressed with the above-mentioned general formula [XII] is a hydrogen atom Can obtain with the synthesis method according to a manufacturing method 3 and a manufacturing method 4, and when Y¹ is a halogen atom The 2nd volume (Comprehensive Heterocyclic Chemistry, Pergamon Press) of the above-mentioned comprehensive hetero cyclic chemistry, 198th page - the 204th page, 216th page - the 220th page, the 3rd volume, 139th page - the 140th page, According to the 4th volume, 599th page - the 656th page, 742nd page - the 861st page, the 6th volume, 12th page - the 60th page, 153rd page - the 167th page, 187th page - the 216th page, and the approach of a 249th page - page [293rd] publication, it is compoundable with halogenation or substituent conversion.

[0108] Although the following process is mentioned as what has the inside Y¹ of the compound expressed with a general formula [XII] typical as a manufacturing method of

the compound of a hydrogen atom, it is not limited only to these approaches.

[0109] <Manufacturing method 10> [0110]

[Formula 27]

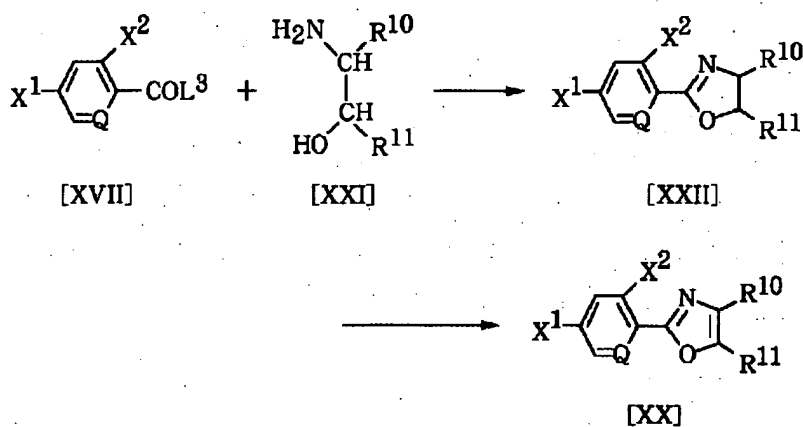


(R¹⁰ and R¹¹ show a hydrogen atom, an alkyl group, a halo alkyl group, and an alkoxy carbonyl group among a formula, R¹² and R¹³ show an alkyl group, and it is [R¹² and R¹³ may become together and may become an alkylene group].) L³, X¹, X², and Q show the same semantics as the above.

[0111] One mol of acid halide expressed with a general formula [XVII] The inside of the suitable inert solvent (it is the same as that of the publication of a manufacturing method 8.) 0.5 - 10l., A suitable base (it is the same as that of the publication of a manufacturing method 5.) After making it react with a mol the acetals 0.3 expressed with the amino ketones or general formula [IXX] expressed with a general formula [XVIII] under existence of an one to 3 time mol, or nonexistence - 1 time, A suitable acid catalyst (Lewis acid, such as inorganic acids, such as a hydrochloric acid, a sulfuric acid, and Para toluenesulfonic acid, or an organic acid and an aluminum chloride, a titanium chloride, and ferric chloride, can be illustrated.) By cyclizing under existence of a 0.01 to 3 time mol, or nonexistence, the oxazole compound expressed with a general formula [XX] can be obtained.

[0112] <Manufacturing method 11> [0113]

[Formula 28]



(R¹⁰ and R¹¹ show a hydrogen atom, an alkyl group, a halo alkyl group, and an alkoxy carbonyl group among a formula, and L³, X¹, X², and Q show the same semantics as the above.)

[0114] One mol of acid halide expressed with a general formula [XVII] The inside of the suitable aprotic inert solvent 0.3 - 5l., A suitable base (it is the same as that of the publication of a manufacturing method 5.) After making it react with a mol the amino alcohol 0.3 expressed with a general formula [XXI] under existence of an one to 3 time mol, or nonexistence - 1 time, By making methyl SUHONIRU chloride or tosyl chloride 0.3 - 2 double mol react to the product, the oxazoline compound expressed with a general formula [XXII] can be obtained.

[0115] As a here aprotic inert solvent, pyridines or these mixed solvents, such as aprotic polar solvents, such as aromatic hydrocarbon, such as ether, such as diethylether, a tetrahydrofuran, and dioxane, benzene, toluene, a xylene, and a chlorobenzene, N,N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, dimethyl sulfoxide, and a sulfolane, a pentane, a hexane, a cyclohexane, and a heptane, can be illustrated, for example. [, such as aliphatic hydrocarbon and a pyridine or picoline,]

[0116] Reaction temperature is performed at the temperature of the arbitration from -20 degrees C to the reflux temperature in the system of reaction, it is a 0 degree C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0117] Next, the manufacturing method (A or B law) of an oxazole compound expressed with a general formula [XX] is explained in full detail from the oxazoline compound expressed with a general formula [XXII].

[0118] (A law) One mol of oxazoline expressed with a general formula [XXII] A suitable aprotic solvent (aromatic hydrocarbon, such as toluene, a xylene, and a mesitylene, N,N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, dimethyl sulfoxide, a sulfolane, etc. can be illustrated.) The inside of 0.5-10l., a suitable catalyst (palladium, palladium carbon, nickel, platinum, etc. can be illustrated.) The oxazole compound expressed with a general formula [XX] can be obtained by performing dehydrogenation under existence of a 0.01 to 1 time mol.

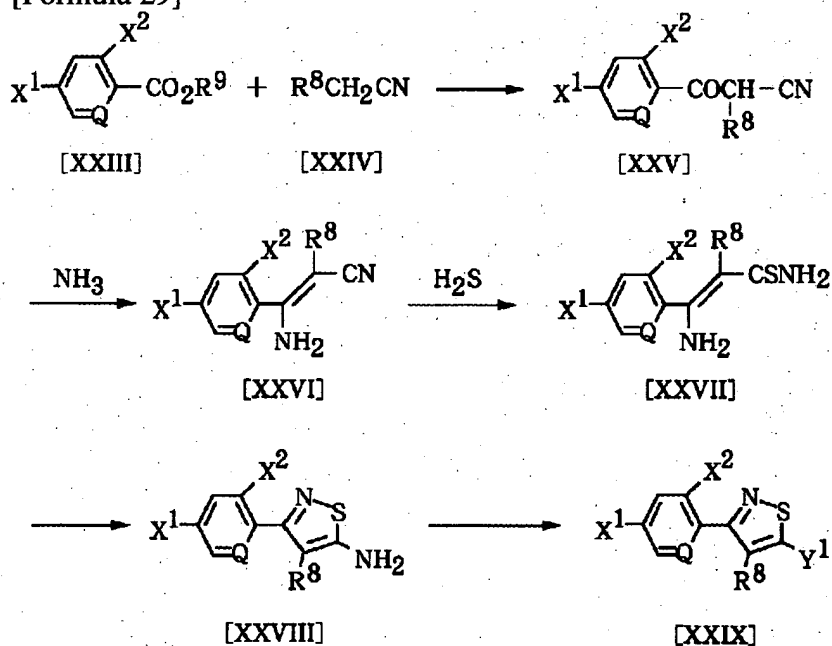
[0119] Reaction temperature is performed at the temperature of the arbitration from a room temperature to the reflux temperature in the system of reaction, it is a 100 degrees C - 200 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0120] (B law) One mol of oxazoline expressed with a general formula [XXII] A suitable inert solvent (it is the same as that of the publication of a manufacturing method 1.) The inside of 0.5-10l., a suitable oxidizer (potassium permanganate, nickel peroxide, a manganese dioxide, etc. can be illustrated.) A 0.5 to 3 time mol, or a suitable halogenating agent (chlorine, a bromine, N-chloro succinimide, N-bromosuccinimide, etc. can be illustrated.) An one to 3 time mol, or a suitable dehydrogenation agent (a dichloro dicyano quinone etc. can be illustrated.) By processing by the mol one to 3 times, the oxazole compound expressed with a general formula [XX] can be obtained.

[0121] Reaction temperature is performed at the temperature of the arbitration from -20 degrees C to the reflux temperature in the system of reaction, it is a 0 degree C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0122] <Manufacturing method 12> [0123]

[Formula 29]



(R8, R9, Y1, X1, X2, and Q show the same semantics as the above among a formula.)

[0124] A mol the nitril 0.3 expressed with a general formula [XXIV] - 1 time to one mol of ester expressed with a general formula [XXIII] A suitable aprotic inert solvent (it is the same as that of the publication of a manufacturing method 11.) The inside of 0.3-5l., a suitable base (the metal salts of alcohol, such as metal hydrides, such as sodium hydride and potassium hydride, or sodium methoxide, a sodium ethoxide, and potassium tert-butoxide, can be illustrated.) By making it react under existence of 0.3 - 2 double mol, the acyl nitril expressed with a general formula [XXV] can be obtained.

[0125] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0126] Next, the amino acrylonitrile expressed with a general formula [XXVI] can be obtained by making one mol of acyl nitril expressed with a general formula [XXV] react

with a mol among the suitable inert solvent 0.5 - 10l. ammonium salt (for an ammonium chloride, ammonium acetate, etc. to be illustrated.) 1 - 10 times under existence of ammonia gas 1 - a 10 time mol, or a base (for it to be the same as that of the publication of a manufacturing method 1.) 1 - a 10 time mol.

[0127] As an inert solvent, here, for example Ether, such as diethylether, a tetrahydrofuran, and dioxane, Aromatic hydrocarbon, such as benzene, toluene, a xylene, and a chlorobenzene Halogenated hydrocarbon, such as dichloromethane, chloroform, and a dichloroethane N.N-dimethylformamide, N,N-dimethylacetamide, a N-methyl-2-pyrrolidone, Aprotic polar solvents, such as dimethyl sulfoxide and a sulfolane, a methanol, Pyridines, such as nitril, such as alcohols, such as ethanol and isopropyl alcohol, an acetonitrile, or propionitrile, a pyridine, or picoline, or these mixed solvents can be illustrated.

[0128] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

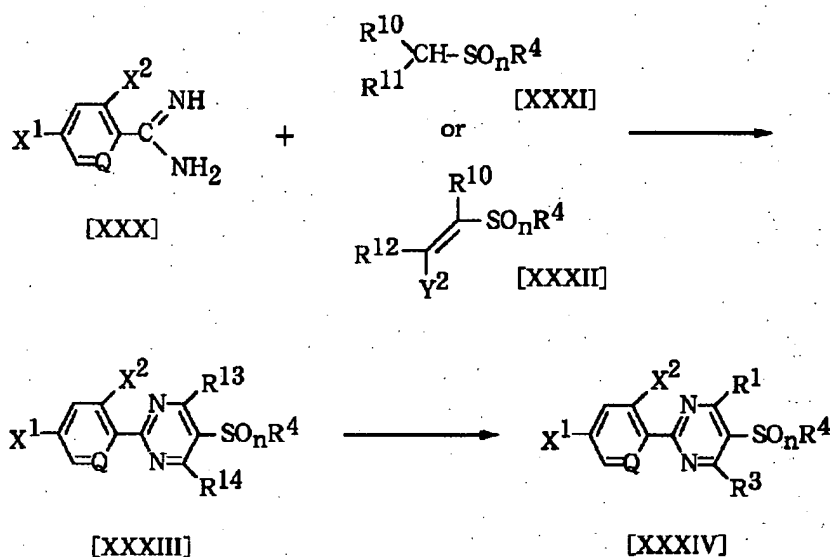
[0129] One mol of subsequently, amino acrylonitrile expressed with a general formula [XXVI] A suitable inert solvent (it is the same as that of the publication of a manufacturing method 1.) The still more suitable oxidizer after considering as the friend NOR KURIRO acid thioamide compound which is made to react among 0.5-10l. with a 1 to 10 times many hydrogen-sulfide [as this] mol, and is expressed with a general formula [XXVII] (chlorine or hydrogen peroxide solution can be illustrated.) By cyclizing by the mol one to 3 times, 5-amino isothiazole expressed with a general formula [XXVIII] can be obtained.

[0130] Reaction temperature carries out at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and a reaction ends it in 10 minutes - 20 hours, although any reaction changes with compounds.

[0131] Finally one mol of 5-amino isothiazole expressed with a general formula [XXVIII] The copper catalyst after considering as diazonium salt with a conventional method (a copper sulfate etc. can be illustrated.) The bottom of existence of a 0.01 to 1 time mol, and phosphite (phosphite etc. can be illustrated.) An one to 3 time mol, or an inorganic halogenide (potassium iodide etc. can be illustrated.) By making it react with a mol one to 5 times, the isothiazole compound expressed with a general formula [XXIX] can be obtained.

[0132] <Manufacturing method 13> [0133]

[Formula 30]



(R1, R3, R4, X1, X2, Q, and n show the same semantics as the above among a formula.) R10 and R11 A formyl group, an alkyl carbonyl group, a halo alkyl carbonyl group, An alkoxy carbonyl group, 1, and 1-dialkoxy alkyl group or a cyano group is shown. R12 shows a hydrogen atom, an alkyl group, or a halo alkyl group. Y2 A hydroxyl group, a halogen atom, an alkoxy group, an alkylthio group, the amino group, a monoalkylamino radical, or a dialkylamino radical is shown, and R13 and R14 show a hydrogen atom, an alkyl group, a halo alkyl group, a hydroxyl group, or the amino group.

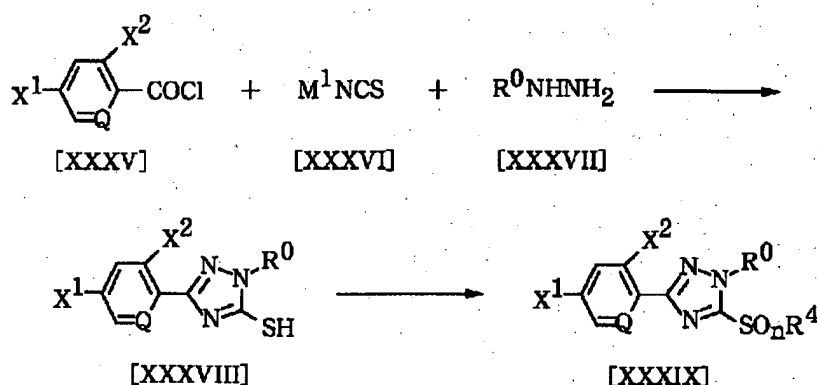
[0134] As opposed to the bends amidines expressed with a general formula [XXX], or one mol of mineral-acid salt of those About the compound 0.3 expressed with a general formula [XXXI] or a general formula [XXXII] - a 1 time mol, it is a suitable inert solvent (it is the same as that of the publication of a manufacturing method 1.). The inside of 0.3-5l., a suitable base (it is the same as that of the publication of a manufacturing method 1.) By making it react under existence of 0.3 - 2 double mol or nonexistence, 2-aryl pyrimidines expressed with a general formula [XXXIII] can be obtained.

[0135] Reaction temperature is performed at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and although a reaction changes with compounds, it is ended in 10 minutes - 20 hours.

[0136] next, 2-aryl pyrimidines expressed with a general formula [XXXIII] -- reference -- a diaryl sulfide derivative to be expressed with a general formula [XXXIV] can be obtained by carrying out substituent conversion according to the 3rd volume (Comprehensive Heterocyclic Chemistry, Pergamon Press) of a well-known approach, for example, comprehensive hetero cyclic chemistry, and the approach of a 123rd page - page [141st] publication.

[0137] <Manufacturing method 14> [0138]

[Formula 31]



(R⁰, R⁴, X¹, X², Q, and n show the same semantics as the above among a formula, and M¹ shows alkali metal or ammonium.)

[0139] The 5-mercapto-3-aryl triazoles expressed with a general formula [XXXVIII] can be obtained by making a mol react the thiocyanate 1 expressed with a general formula [XXXVI] - 3 times to one mol of acid chlorides expressed with a general formula [XXXV] in the suitable aprotic inert solvent (for it to be the same as that of the publication of a manufacturing method 11.) 0.3 - 5l., and making the hydrazine 1 subsequently expressed with a general formula [XXXVII] - 2 double mol react. A diaryl sulfide derivative to express this with a general formula [XXXIX] according to a manufacturing method 1, a manufacturing method 2, and a manufacturing method 8 can be obtained.

[0140] Reaction temperature carries out at the temperature of the arbitration from -30 degrees C to the reflux temperature in the system of reaction, it is a -10 degrees C - 100 degrees C temperature requirement preferably, and a reaction ends it in 10 minutes - 20 hours, although any reaction changes with compounds.

[0141]

[Example] Next, an example is given and the manufacturing method, the manufacturing-medicine method, and application of this invention compound are explained concretely. In addition, the manufacturing method of the manufacture intermediate field of this invention compound is also doubled and indicated.

[0142] Manufacture 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-mercapto thiazole 2.0g (5.4 millimol), 1.5g [of potassium carbonate] (11 millimol), and iodation isopropyl 1.5g (8.2 millimol) of a <example 1> 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-isopropyl thio thiazole (this invention compound number II-7) was added to 20ml of N.N-dimethylformamide, and it agitated at the room temperature for 1 hour. The reaction mixture was opened in 300ml water, and 50ml of ethyl acetate extracted twice. After 50ml water washed the ethyl acetate layer twice, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the ethyl acetate was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:8) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-isopropyl thio thiazole 1.4g (70% of yield) of a colorless liquid (n_D201.5480) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

1.337 6H, d

3.244 1H, q

7.694 2H, d

7.913 1H, s

[0143] <Example 2> 2- Manufacture 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-mercapto thiophene 3.3g of a (2 and 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-trifluoro methylthio thiophene (this invention compound number I-31) (9.6 millimol) And Rongalite 3.0g (19.5 millimol) was added to 30ml of N.N-dimethylformamide, and the excessive amount of bromotrifluoromethane was blown over 1 hour, agitating at a room temperature. The reaction mixture was opened in 300ml water, and 50ml of ethyl acetate extracted twice. After 50ml water washed the ethyl acetate layer twice, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the ethyl acetate was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:8) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-trifluoro methylthio thiophene 0.8g (20% of yield) of a colorless liquid (nD201.5305) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.661 3H, s

7.062 1H, s

7.669 2H, s

[0144] <Example 3> 2- 2 -- The manufacture 5 of 6-dichloro-4-trifluoro methylphenyl-5-pentafluoroethyl thio-4-methylthiazole (this invention compound number II-71), 5'-CHIOJI-{2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole} 1.0g (1.5 millimol) and Rongalite 1.5g (10 millimol) are added to 20ml of N.N-dimethylformamide. The excessive amount of iodine pentafluoro ethane was blown over 1 hour, agitating at a room temperature. The reaction mixture was opened in 300ml water, and 50ml of ethyl acetate extracted twice. After 50ml water washed the ethyl acetate layer twice, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the ethyl acetate was carried out, the silica gel column chromatography (elution solvent and ethyl-acetate:n-hexane =1:10) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-pentafluoroethyl thio-4-methylthiazole 1.1g (81% of yield) of a colorless liquid (nD201.5059) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.571 3H, s

7.741 2H, s

[0145] 83ml (1.56 mols/(l.)) of n-butyl lithium hexane solutions was dropped having added manufacture 3-methyl-2-methylthio thiophene 18.7g (130 millimol) of a <example 4> 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiophene (this invention compound number I-96) to diethylether 200ml, and agitating at nitrogen air-current Nakashita and a room temperature. After agitating at 35 degrees C for 2 hours, it cooled at 10 degrees C and the 3 and 5-dichloro-4-fluorobenzo trifluoride 33.2g (142 millimol) diethylether 50ml solution was dropped. After agitating at a room temperature for further 12 hours, the reaction mixture was opened in about 1l. iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Vacuum distillation of the residue was carried out for the solvent after reduced pressure distilling off, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiophene 30.6g (80% of yield) of a light yellow liquid (nD201.5819) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.336 3H, s

2.454 3H, s

6.800 1H, s

7.649 2H, s

[0146] <Example 5> 2- Manufacture (1) 2 of (2 and 6-dichloro-4-trifluoro methylphenyl)-5-methyl thiofuran (this invention compound number I-59) -(2, 6-dichloro-4-trifluoro methylphenyl)- manufacture furan 12.0g (176 millimol) of a furan (compound XII) 100ml (1.66 mol/l) of n-butyl lithium hexane solutions was dropped agitating at nitrogen air-current Nakashita and a room temperature in addition to diethylether 250ml. After agitating under heating reflux for 3 hours, the 3 and 5-dichloro-4-fluorobenzo trifluoride 30.0g (129 millimol) diethylether 50ml solution was dropped at the room temperature. After agitating at a room temperature for further 12 hours, the reaction mixture was opened in about 1l. iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Vacuum distillation of the residue is carried out for a solvent after reduced pressure distilling off, and it is 2 of a colorless liquid (114-116 degrees C of boiling points, 20mmHg, nD₂₀1.5237). -(2, 6-dichloro-4-trifluoro methylphenyl)- Furan 23.4g (65% of yield) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

6.58 1H, M

6.59 1H, M

7.60 1H, M

7.65 2H, S

[0147] (2) Manufacture 2 of 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl thiofuran (this invention compound number I-59) -(2, 6-dichloro-4-trifluoro methylphenyl)- 0.8g (5 millimol) of bromines was dropped having added furan 1.4g (5 millimol) to dioxane 15ml, and agitating at a room temperature. After agitating at 60 degrees C for 3 hours, reduced pressure distilling off of the dioxane was carried out. After having added toluene and water, separating liquids and washing a toluene layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent is carried out, and it is 2-BUROMO. - 5 -(2, 6-dichloro-4-trifluoro methylphenyl)- Furan 1.5g (4.2 millimol) was obtained. The acquired bromine object was added to tetrahydrofuran 20ml, and 3ml (1.66 mol/l) of n-butyl lithium hexane solutions was dropped, cooling and agitating at -50 degrees C under a nitrogen air current. The dimethyl disulfide 0.6g (6.4 millimol) diethylether 5ml solution was dropped after 1 more hour churning at this temperature. After agitating to a room temperature, reduced pressure distilling off of the solvent was carried out. After having added toluene and water, separating liquids and washing a toluene layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the toluene was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:6) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl thiofuran 0.8g (57% of yield) of a light yellow liquid (nD₂₀1.5606) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.487 3H, s

6.552 1H, d

6.637 1H, d

7.648 2H, s

[0148] <Example 6> 2- The manufacture (1)2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole of a (2 and 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiazole (this invention compound number II-10) 190ml (1.59 mol/l) of n-butyl lithium hexane solutions was dropped having added manufacture 4-methylthiazole 25.0g (253 millimol) of (Compound XII) to diethylether 300ml, and agitating at nitrogen air-current Nakashita and -60 degrees C. After agitating for 3 hours, the 3 and 5-dichloro-4-fluorobenzo trifluoride 44.0g (189 millimol) diethylether 100ml solution was dropped. After agitating at 0 degree C for further 6 hours, the reaction mixture was opened in about 1l. iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Vacuum distillation of the residue was carried out for the solvent after reduced pressure distilling off, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole 30.5g (52% of yield) of a colorless liquid was obtained.

[0149] (2) 75ml (1.59 mol/l) of n-butyl lithium hexane solutions was dropped, having added manufacture diisopropylamine 15g (149 millimol) of a 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiazole (this invention compound number II-10) to diethylether 300ml, and agitating at nitrogen air-current Nakashita and 0 degree C. After agitating for 30 minutes, the 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole 30.0g (96 millimol) diethylether 100ml solution was dropped at -60 degrees C. The dimethyl disulfide 10g (106 millimol) diethylether 50ml solution was dropped after churning for 30 more minutes at this temperature. After returning to a room temperature, agitating, water was added and insoluble matter was carried out the ** exception. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:6) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiazole 32g (93% of yield) of a light yellow liquid (nD₂₀1.5746) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.484 3H, s

2.569 3H, s

7.747 2H, s

[0150] <Example 7> 2- Manufacture 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methylthio thiazole 30g of a (2 and 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methyl sulfinyl thiazole (this invention compound number II-11) Having dissolved (84 Millimol) in chloroform 500ml, and agitating at -30 degrees C, 14.5g (84 millimol) of m-chloro perbenzoic acids was added, and it agitated for 2 hours. At the room temperature, after 12 more hour churning, sodium bicarbonate water was added 5% and liquids were separated. A sodium-sulfite water solution and water washed the chloroform layer 5%, and it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methyl sulfinyl thiazole 25g (80% of yield) of light yellow powder (melting point of 168-169 degrees C) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.672 3H, s

3.037 3H, s

7.708 2H, s

[0151] 0.5g (4 millimol) of manufacture 2-thiophene boron acids of a <example 8> 2-(3-chloro-5-trifluoromethyl-2-pyridyl)-5-methyl sulfinyl thiophene (this invention compound number I-20), 3-chloro-5-trifluoromethyl-2-BUROMO pyridine 1.3g (6.4 millimol), 0.8g [of sodium carbonates] (7.5 millimol) and tetrakis (triphenyl phosphine) palladium 0.4g (0.3 millimol) was added to toluene 40ml, ethanol 20ml, and the mixed solvent of 20ml of water, and was agitated under heating reflux for 2 hours. The reaction mixture was opened in iced water and it extracted with toluene. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 2-(3-chloro-5-trifluoromethyl-2-pyridyl) thiophene 0.8g was obtained. 0.7g (3.9 millimol) of N-bromosuccinimide and 10ml of carbon tetrachlorides were added to obtained 2-(3-chloro-5-trifluoromethyl-2-pyridyl) thiophene 0.8g (3.9 millimol), and it agitated under heating reflux for 3 hours. Reduced pressure distilling off of the carbon tetrachloride was carried out for insoluble matter the back according to **, and ethyl acetate and water were added and liquids were separated. After washing an ethyl acetate layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 2-(3-chloro-5-trifluoromethyl-2-pyridyl)-5-BUROMO thiophene 0.7g (2.5 millimol) was obtained. The acquired bromine object was added to tetrahydrofuran 10ml, and 1.5ml (1.59 mol/l) of n-butyl lithium hexane solutions was dropped, cooling and agitating at -50 degrees C under a nitrogen air current. The dimethyl disulfide 0.3g (3.2 millimol) diethylether 5ml solution was dropped after 1 more hour churning at this temperature. After agitating to a room temperature, reduced pressure distilling off of the solvent was carried out. After having added toluene and water, separating liquids and washing a toluene layer twice with water, it dried with sulfuric anhydride magnesium. toluene -- reduced pressure distilling off -- carrying out -- 2-(3-chloro-5-trifluoromethyl-2-pyridyl)-5-methylthio thiophene 0.4g (1.6 millimol) of a light yellow liquid -- it obtained. Having dissolved the acquired methylthio object in chloroform 10ml, and agitating at 0 degree C, 0.3g (1.7 millimol) of m-chloro perbenzoic acids was added, and it agitated for 1 hour. Sodium bicarbonate water was added 5%, liquids were separated, a sodium-sulfite water solution and water washed the chloroform layer 5%, and it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:4) refined residue, and 2-(3-chloro-5-trifluoromethyl-2-pyridyl)-5-methyl sulfinyl thiophene 0.1g (23% of yield) of a yellowish-brown-color crystal (melting point of 70-73 degrees C) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.998 3H, s

7.533 1H, d

8.030 1H, s

8.194 1H, d

8.759 1H, s

[0152] 19ml (1.59 mols/(l.)) of n-butyl lithium hexane solutions was dropped having added the manufacture 2 of a <example 9> 2-(2-chloro-4-trifluoro methylphenyl)-5-methylthio pyridine (this invention compound number V-9), and 5-dibromo pyridine 6.0g (25 millimol) to diethylether 30ml, and agitating at nitrogen air-current Nakashita and -60

degrees C. After agitating for 3 hours, the dimethyl disulfide 2.9g (31 millimol) diethylether 6ml solution was dropped. After agitating at 0 degree C for further 6 hours, the reaction mixture was opened in about 100ml iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. The solvent was refined after reduced pressure distilling off, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:8) refined residue, and 2-BUROMO-5-methylthio pyridine 2.6g (51% of yield) of a light brown liquid was obtained.

[0153] 2.9g [of 2-chloro-4-trifluoromethyl benzene boron acids] (13 millimol) and 2-BUROMO-5-methylthio pyridine 2.6g (13 millimol), 1.7g [of sodium carbonates] (16 millimol), and tetrakis (triphenyl phosphine) palladium 0.8g (0.6 millimol) was added to toluene 80ml, ethanol 40ml, and the mixed solvent of 40ml of water, and was agitated under heating reflux for 2 hours. The reaction mixture was opened in iced water and it extracted with toluene. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:4) refined residue, and 2-(2-chloro-4-trifluoro methylphenyl)-5-methylthio pyridine 2.7g (69% of yield) of an orange liquid (nD201.5750) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.571 3H, s

7.600-7.760 (5H, m)

8.606 1H, dd

[0154] 6.1ml (1.6 mol/l) of n-butyl lithium hexane solutions was dropped having added manufacture 2-(2-chloro-4-trifluoro methylphenyl)-5-methylthio pyridine 2.7g (9 millimol) of a <example 10> 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methylthio pyridine (this invention compound number V-22) to tetrahydrofuran 50ml, and agitating at nitrogen air-current Nakashita and -60 degrees C. After agitating for 3 hours, the N-chloro succinimide 1.3g (10 millimol) tetrahydrofuran 40ml solution was dropped. After agitating at 0 degree C for further 6 hours, the reaction mixture was opened in about 500ml iced water, and ethyl acetate extracted. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:6) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methylthio pyridine 0.4g (13% of yield) of a light yellow liquid (nD201.5859) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.587 3H, s

7.251 1H, dd

7.677 2H, s

7.683 1H, dd

8.618 1H, dd

[0155] 4-trifluoromethyl benzoic-acid chloride 2.1g (10 millimol) was dropped having added 1.0g (10 millimol) of manufacture potassium thiocyanates of <example 11> 5-cyclo propyl methylthio-1-methyl-3-(4-trifluoro methylphenyl) triazole (this invention compound number IV-7) to acetonitrile 20ml, and stirring at a room temperature. Methylhydrazine 0.5g (10 millimol) was added having carried out the solid the **

exception after 1-hour stirring at the room temperature, having added toluene 50ml to residue after condensing filtrate, and stirring at a room temperature. It stirred after heating to 80 degrees C for 1 hour. 50ml water solution of 1g of sodium hydrogencarbonates (12 millimol) was added to residue after reduced pressure distilling off, and the solvent was stirred under heating reflux for 6 hours. To the room temperature, after cooling, hydrochloric-acid water and ethyl acetate were added 5%, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 5-mercapto-1-methyl-3-(4-trifluoro methylphenyl) triazole 1.8g (70% of yield) was obtained. 5-mercapto-1-methyl-3-(4-trifluoro methylphenyl) triazole 1.8g [which was obtained], cyclopropyl methyl bromide 1.3g (10 millimol), 1.6g [of potassium carbonate] (12 millimol), and tetrabutylammonium bromide 0.3g was added to acetonitrile 150ml, and it stirred at 60 degrees C for 6 hours. Reduced pressure distilling off of the solvent was carried out, 100ml iced water was added to residue, and ethyl acetate extracted. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:6) refined residue, and 5-cyclo propyl methylthio-1-methyl-3-(4-trifluoro methylphenyl) triazole 2.1g (95% of yield) of white powder (melting point of 47-50 degrees C) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

0.312-0.363 (2H, m)

0.619-0.649 (2H, m)

1.151-1.285 (1H, m)

3.222 2H, d

3.859 3H, s

7.668 2H, d

8.175 2H, d

[0156] (Example of manufacture of intermediate field)

The example 1> 5 of < reference, 5'-CHIOJI-{2- Manufacture 2- of a manufacture (1)2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-mercapto thiazole (compound II) of (2 and 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole} (compound IV) (2 and 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-methyl sulfinyl thiazole 10g (27 millimol) is added to 150ml of anhydrous trifluoroacetic acid. After agitating at a room temperature for 12 hours, reduced pressure distilling off of the low-boiling point object was carried out, methanol 200ml and 25g of 20% potassium-hydroxide water solutions were added to residue, and it was made to react at a room temperature for 1 hour. Sulfuric-acid water was added after [reduced pressure distilling off] 5%, and ethyl acetate extracted the solvent. The ethyl acetate layer was dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the ethyl acetate was carried out, the silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:6) refined residue, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-mercapto thiazole 8.1g (88% of yield) of light yellow viscous liquid (nD₂₀ measurement is impossible) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.594 3H, s

4.902 1H, s

7.684 2H, s

[0157] (2) 5 and 5'-CHIOJI - Manufacture 2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methyl-5-mercapto thiazole 8g (23 millimol) of {2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole} (compound IV) was added to dimethyl sulfoxide 70ml, and it agitated at 150 degrees C for 2 hours. The reaction mixture was opened underwater and ethyl acetate extracted. The ethyl acetate layer was dried with sulfuric anhydride magnesium. ethyl acetate -- reduced pressure distilling off -- carrying out -- residue -- a silica gel column chromatography (an elution solvent, an ethyl-acetate:n-hexane = 1:5) -- refining -- 5 of light yellow resinoid (nD20 measurement is impossible) -- 5'-CHIOJI-{2-(2, 6-dichloro-4-trifluoro methylphenyl)-4-methylthiazole} 7.3g (92% of yield) was obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.429 6H, s

7.694 4H, s

[0158] <Example 2 of reference> 2- 2 -- manufacture (1)2- (2 --) of a 6-dichloro-4-trifluoro methylphenyl-5-methyl-4-mercapto thio thiophene (compound II) Manufacture 2-methylthiophene 10.0g (102 millimol) of 6-dichloro-4-trifluoro methylphenyl-5-methylthiophene (compound XII) is added to diethylether 150ml. 46ml (1.60 mols/(l.)) of n-butyl lithium hexane solutions was dropped under the nitrogen air current, agitating at a room temperature. The 3 and 5-dichloro-4-fluorobenzo trifluoride 18.0g (77 millimol) diethylether 30ml solution was dropped after 2-hour churning at the room temperature. After agitating at a room temperature for further 12 hours, the reaction mixture was opened in about 1l. iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. a solvent -- after reduced pressure distilling off and residue -- vacuum distillation -- carrying out -- 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methylthiophene 15.0g (63% of yield) of a light yellow liquid (170-173 degrees C of boiling points, 20mmHg, nD201.5555) -- it obtained.

One H-NMR data (300MHz, CDCl3 solvent, delta value)

2.552 3H, s

6.811 1H, d

6.837 1H, d

7.646 2H, s

[0159] (2) 7g (60 millimol) of chlorosulfonic acids was dropped, having dissolved manufacture 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methylthiophene 10g (32 millimol) of thioacetic-acid 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-thienyl in chloroform 100ml, and agitating at 0 degree C. At the room temperature, after 3-hour churning, the reaction mixture was opened in about 500ml iced water, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methylthiophene-4-sulfonyl chloride 13.4g (32 millimol) was obtained. The obtained sulfonyl chloride derivative was dissolved in 200ml of acetic acids, 4.9g (158 millimol) of red phosphorus and 0.5g (2 millimol) of iodine were added, and it was made to react at 120 degrees C for 2 hours. Reduced pressure distilling off of the solvent was carried out, and 200ml of ethyl acetate was added to residue, and it was made it the ** exception. After sodium-thiosulfate water, 5%

sodium bicarbonate water, and water washed filtrate 5%, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and thioacetic-acid 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-thienyl 11.9g (93% of yield) of light yellow resinoid (nD₂₀1.5790) was obtained.

One H-NMR data (300MHz, CDCl₃ solvent, delta value)

2.413 3H, s

2.486 3H, s

6.889 1H, s

7.659 2H, s

[0160] (3) 11.9g (31 millimol) of thioacetic-acid 4-thienyl derivatives compounded by manufacture (2) of a 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-mercapto thio thiophene (compound II) was dissolved in methanol 200ml, 50ml of sodium-hydroxide water solutions of one convention was added, and it agitated under heating reflux for 1 hour. After carrying out reduced pressure distilling off of the solvent, hydrochloric-acid water and ethyl acetate were added 10%, and liquids were separated. After washing an organic layer twice with water, it dried with sulfuric anhydride magnesium. Reduced pressure distilling off of the solvent was carried out, and 2-(2, 6-dichloro-4-trifluoro methylphenyl)-5-methyl-4-mercapto thio thiophene 9.7g (92% of yield) was obtained.

[0161] The pest control agent of this invention becomes considering the diaryl sulfide derivative shown by the general formula [I] as an active principle.

[0162] Although it faces as an active principle of a pest control agent using this invention compound and you may use by this invention compound itself, the support and the surfactant which are used to pharmaceutical-preparation-izing generally as an agricultural-chemicals adjuvant, and other adjuvants can be blended, and medicine can be manufactured in various gestalten, such as an emulsion, suspension, powder material, a granule, a tablet, water dispersible powder, water soluble powders, liquids and solutions, a floor bull agent, granulation water dispersible powder, aerosols, a paste agent, oils, and an opacifier. These blending ratio of coal is usually the agricultural-chemicals adjuvant 10 - the 99.9 weight sections in an active principle 0.1 - 90 weight sections.

[0163] It is divided into a solid support and liquid support as support used on the occasion of pharmaceutical-preparation-izing said here. As a solid support, mineral powder, such as animals-and-plants nature powder, such as starch, activated carbon, a soybean meal, wheat flour, wood flour, a fish meal, and milk powder, talc, a kaolin, a bentonite, a calcium carbonate, a zeolite, diatomaceous earth, white carbon, clay, and an alumina, is mentioned, for example. As liquid support, for example Alcohols; cyclohexanones, such as water; isopropyl alcohol and ethylene glycol, Ketones, such as a methyl ethyl ketone; Ether; kerosine, such as dioxane and a tetrahydrofuran, Aliphatic hydrocarbon, such as gas oil; A xylene, trimethyl benzene, tetramethyl benzene, Methyl naphthalene, aromatic hydrocarbon [, such as solvent naphtha,]; -- halogenated hydrocarbon [, such as a chlorobenzene,]; -- acid-amides [, such as dimethylacetamide]; -- ester [, such as glycerol ester of a fatty acid]; -- nitril [, such as an acetonitrile,]; -- sulfur-containing compounds, such as dimethyl sulfoxide, are mentioned.

[0164] As a surface active agent, an alkylbenzene-sulfonic-acid metal salt, a dinaphthylmethane-disulfonic-acid metal salt, an alcoholic sulfate salt, alkylaryl sulfonates, a ligninsulfonic acid salt, polyoxyethylene glycol ether, polyoxyethylene alkyl aryl ether, a polyoxyethylene sorbitan mono-alkylate, etc. are mentioned, for example.

[0165] As other adjuvants, physical-properties improvers, such as defoaming agents, such as binders, such as a carboxymethyl cellulose, gum arabic, sodium alginate, guar gum, tragacanth gum, and polyvinyl alcohol, or a thickener, and metallic soap, a fatty acid, alkyl phosphate, silicone, and paraffin, a coloring agent, etc. can be used, for example.

[0166] On the occasion of actual use of these pharmaceutical preparation, it can be used as it is, or can be diluted and used for predetermined concentration by diluents, such as water. The use approach usually performed generally, i.e., spraying, soil use (for example, spraying, misting, atomizing, dusting, granule application, application on water surface, box use, etc.) (for example, mixing, irrigation, etc.), surface use (for example, spreading, dust coating, covering, etc.), immersion, poison bait, etc. can perform various pharmaceutical preparation containing this invention compound, or use of the dilution. Moreover, said active principle is mixed and given to feed to livestock, and it is also possible the harmful insect in the excrement and to prevent generating of a harmful insect and growth especially. Moreover, it can also be used by the so-called super-high concentration low volume spray method. In this approach, it is possible to contain an active ingredient 100%.

[0167] Generally 0.1-50000 ppm of use of the pest control agent of this invention are desirably performed by the active principle concentration of 1-10000 ppm.

[0168] Active principle concentration can be suitably changed according to the gestalt of pharmaceutical preparation and the approach of using it, the purpose, a stage, a location, the generating situation of a noxious organism, etc. For example, an active principle density range underwater from the ability to prevent in the case of an aquatic life noxious organism, even if it sprinkles the drug solution of the above-mentioned density range to a source location is below the above. The amount of application per unit area is not limited to these, although 1-0.1-5000g 1000g are preferably used per 1ha and as an active principle compound.

[0169] In addition, even if this invention compound is independent, although an effective enough thing cannot be overemphasized, the need may be accepted, and it can use [other fertilizer agricultural chemicals, for example, an insecticide miticide, a nematicide, a germicide, an antiviral, an attractant, a herbicide, a plant growth regulator, etc. and] together, and can use together, and the effectiveness which was further excellent in this case may be shown.

[0170] Examples of representation, such as an insecticide which can be used mixing with this invention compound, a germicide, and miticide, are shown below.

[0171] For example, organic phosphorus and a carver mate system insecticide : Fenthion, fenitrothion, Diazinon, chlorpyrifos, oxydeprofos, vamidothion, Phenthoate, dimethoate, formothion, a malathion, trichlorfon, Thiometon, phosmet, dichlorvos, acephate, EPBP, Methyl parathion, oxydemetonmethyl, ethion, diox BENZOHOSU, Cyanophos, isoxathion, pyridaphenthion, phosalone, methidathion, Sulprofos, chlorfenvinphos, tetrachlorvinphos, dimethylvinphos, Propaphos, isofenphos, disulfoton, prophenophos, pyraclophos, Monocrotophos, azinephosmethyl, aldicarb, a meso mill, thio JIKARUBU, Carbofuran, carbosulfan, Benfuracarb, hula thio KARUBU, Pro POKISURU, fenobucarb, METORUKARUBU, isopropanal KARUBU, carbaryl, pirimicarb, ethiofencarb, dichlofenthion, pirimiphos-methyl, chinae-cortex RUHOSU, chlorpyrifos methyl, prothiophos, NAREDDO, EPN and XMC, and a vendor -- Io -- KARUBU, oxamyl, ARANIKARUBU, KURORUETOKISHIHOSU, etc.

[0172] Pyrethroid system insecticide: Permethrin, SHIPERUME thorin, delta METORIN, fenvalerate, Foehn proper thorin, pyrethrin, allethrin, tetramethrin, RESUME thorin, JIMESURIN, pro pass phosphorus, FENO thorin, pro thorin, fluvalinate, SHIFURU thorin, SHIHARO thorin, full SHITORINETO, etofenprox, cyclo pro thorin, TORAROME thorin, silafluofen, TEFURU thorin, bifenthrin, AKURINA thorin, etc.

[0173] An acyl urea system, other insecticides : JIFURUBENZURON, KURORU fluazuron, Hexa full MURON, triflumuron, teflubenzuron, full FENOKUSURON, Furcycloxuron, buprofezin, pyriproxifen, RUFENURON, Cyromazine, methoprene, endosulfan, JIAFENCHIURON, Imidacloprid, fipronil, nicotine sulfate, a rotenone, a metaldehyde, Microbial pesticides, such as machine oil, and BT, an insect pathogenic virus, phenoxy KARUBU, Cartap, thiocyclam, bensultap, tebufenozide, chlorphenapyl, emamectin benzoate, ASETAMIPURIDO, nitenpyram, pymetrozine, sodium oleate, rapeseed oil, etc.

[0174] Nematicide: Fenamiphos, phosthiazate, ETOPUROHOSU, methylisothiocyanate, 1,3-dichloropropene, DCIP, etc.

[0175] Miticide: Chlorbenzilate, phenisobromolate, JIKOHORU, amitraz, propargite, a benzomate, HEKISHICHIAZOKUSU, fenbutatin oxide, PORINA cutin, chinomethionat, Krol Foehn Son, Tetradifon, abamectin, MIRUBEME cutin, clofentezine, pyridaben, fenpyroximate, tebufenpyrad, pilus midge FEN, FENOCHIOKARUBU, JIENOKURORU, ETOKISAZORU, hull FEMPUROKKUSU, etc.

[0176] Germicide : Thiophanate-methyl, BENOMIRU, cull vendor ZORU, thiabendazole, Folpet, thiuram, ziram, a zineb, MANNEBU, mancozeb, polycarbamate, Iprobenfos, EJIFENHOSU, fthalide, Probenazole, isoprothiolane, Chlorothalonil, captan, polyoxin, blastidicin S, Kasugamycin, streptomycin, a validamycin, tricyclazole, Pyroquilon, phenazine oxide, MEPURONIRU, flutolanil, the Benxi kuron, Iprodione, hymexazol, metalaxyl, triflumizole, Trifolin, thoria JIMEHON, Bitertanol, fenarimol, propiconazole, Cymoxanil, pro KURORAZU, PEFURAZOETO, hexa kona ZORU, Micro swine nil, dichlomedin, tecloftalam, propineb, dithianon, HOSECHIRU, vincrozone, procymidone, oxadixyl, guazatine, propamocarb hydrochloride, fluazinam, oxolinic acid, hydroxyisoxazole, imibenconazole, JIFENOKONAZORU, MEPANIPIRIMU, etc.

[0177] The compound of this invention shows the outstanding prevention-effectiveness to noxious insects, such as a Hemiptera noxious insect, a Lepidoptera noxious insect, a beetles noxious insect, a Diptera noxious insect, a Hymenoptera noxious insect, an Orthoptera noxious insect, the Isoptera noxious insect, a thrip eye noxious insect, spider mites, and vegetable parasitism nature Nematoda. As an example of such a noxious insect, the noxious insects like a less or equal can be illustrated.

[0178] A Hemiptera noxious insect (Riptortus clavatus), for example, Riptortus clavatus, A MINAMIAO bug (Nezara viridula), MEKURA Pentatomorpha (Lygus sp.), The U.S. KOBANE Alectorobius talaje (Blissusleucopterus), Pentatomorpha, such as pear Tingidae (Stephanitis nashi) (Heteroptera; HETEROPTERA), Leafhoppers, such as Nephrotettix and HIMEYOKOBAI (Empoasca sp., Erythroneura sp., Circulifer sp.), A rice brown planthopper (Nilaparvata lugens), Sogatella furcifera (Sogatella furcifera), Planthoppers, such as a small brown planthopper (Laodelphax striatellus) Psylla Jumping plant lice, such as sp., a tobacco white fly (Bemisia tabaci), White flies, such as an ONSHITSU white fly (Trialeurodes vaporariorum) A BUDOUNE aphid (Viteus

vitifolii), a green peach aphid (*Myzus persicae*), An apple aphid (*Aphis pomi*), an woolly aphid (*Aphis gossypii*), *Aphis fabae*, a fake Japanese radish aphid (*Rhopalosiphum psedobrassicae*), A potato HIGENAGA aphid (*Aulacorthum solani*), Aphids, such as a wheat MIDORI aphid (*Schizaphis graminum*) A mulberry kona scale insect (*Pseudococcus comstocki*), Ruby ROUMUSHI (*Ceroplastes rubens*), a SANHOZE scale insect (*Comstockaspis perniciosus*), *Pulvinaria*, such as an Arrowhead scale (*Unaspis yanonensis*), assassin bug (*Rhodnius* sp.), etc.

[0179] A Lepidoptera noxious insect (*Homona magnanima*), for example, tea HAMAKI, *Adoxophyes* (*Adoxophyes orana*), ten GUHAMAKI (*Sparganothis pilleriana*), NASHIHIMESHINKUI (*Grapholitha molesta*), A soybean pod borer (*Leguminivora glycinivorella*), KODORINGA (*Laspeyresia pomonella*), *Eucosma* sp., *Lobesia* HOSOHAMAKIGA, such as budworms, such as botrana, and grape HOSOHAMAKI (*Eupoecillia ambigua*) *Bambalina* MINOGA, such as sp., *Nemapogon* (*Nemapogon granellus*), HIROZUKOGA, such as a clothes moth (*Tinea translucens*) sharp-toothed eels, such as GIMMONHAMOGURIGA (*Lyonetiaprunicifoliella*), -- GURIGA -- HOSOGA, such as *Phyllonorycter ringoniella* (*Phyllonorycter ringoniella*) KOHAMOGURIGA, such as *Phyllocnistis citrella* (*Phyllocnistis citrella*) A cabbage moth (*Plutella xylostella*), Prays SUGA, such as citri Grape SUKASHIBA (*Paranthrene regalis*), *Synanthedon* SUKASHIBAGA, such as sp. *Pectinophora gossypiella* (*Pectinophora gossypiella*), Potato IMOGA (*Phthorimaea operculella*), *Stomopteryx* Codling moths, such as KIBAGA, such as sp., and a peach codling moth (*Carposina niponensis*) Oriental moths, such as an oriental moth (*Monema flavescens*), Chilo (*Chilo suppressalis*), *Cnaphalocrocis medinalis* (*Cnaphalocrocis medinalis*), *Ostrinia nubilalis* and *Ostrinia furnacalis* (*Ostrinia furnacalis*) High MADARANOMEIGA (*Hellula undalis*), a bee moth (*Galleria mellonella*), *Elasmopalpus lignosellus*, *Loxostege* MEIGA, such as *sticticalis* SHIROCHOU, such as a cabbage butterfly (*Pieris rapae*) SHAKUGA, such as YOMOGIEDASHAKU (*Ascotis selenaria*) KAREHAGA, such as OBIKAREHA (*Malacosoma neustria*) *Manduca* Hawkmoths, such as *sexta*, a tea tussock moth (*Euproctis pseudoconspersa*), *Liparidae*, such as a gypsy moth (*Lymantria dispar*), HITORIGA, such as a fall webworm (*Hyphantria cunea*) A tobacco BADDO worm (*Heliothis virescens*), A ball worm (*Helicoverpa zea*), SHIROICHIMOJIYOTOU (*Spodoptera exigua*), *Helicoverpa armigera* (*Helicoverpa armigera*), a tobacco cutworm (*Spodoptera litura*), YAGA, such as a cabbage armyworm (*Mamestra brassicae*), a black cutworm (*Agrotis ipsilon*), *Leucania* (*Pseudaletia separata*), and nettle KINUWABA (*Trichoplusia ni*)

[0180] A beetles noxious insect (*Anomala cuprea*), for example, a DOUGANE buoy buoy, A Japanese beetle (*Popillia japonica*), a soybean beetle (*Anomala rufocuprea*), *Eutheola* Scarab beetles, such as *rugiceps*, a wire worm (*Agriotes* sp.), Click beetles, such as *Conodeus* sp., a NIJUUYAHOSHI ten tow (*Epilachna vigintioctopunctata*), Ladybugs, such as a kidney bean ladybug (*Epilachna varivestis*) *Heteromera*, such as *Tribolium castaneum* (*Tribolium castaneum*), *Anoplophora malasiaca* Thomson (*Anoplophora malasiaca*), Paper KIRIMUSHI, such as *Monochamus alternatus* (*Monochamus alternatus*) A kidney-beans weevil (*Acanthoscelides obtectus*), Bean weevils, such as *Callosobruchus* (*Callosobruchus chinensis*) A Colorado beetle (*Leptinotarsa decemlineata*), A cone root worm (*Diabrotica* sp.), rice DOROOIMUSHI (*Oulema oryzae*), A sugarbeet kite leaf beetle (*Chaetocnema concinna*), *Phaedon cochlearius*,

Oulema melanopus, Diadisa Leaf beetles, such as armigera, Apion HOSOKUCHI weevils, such as godmani A rice Ms. weevil (Lissorhoptrus oryzophilus), OSAZOOMUSHI, such as weevils, such as a boll weevil (Anthonomus grandis), and a rice weevil (Sitophilus zeamais), woodengravers, carpet beetles, and SHIBAMMUSHI [0181] A Diptera noxious insect (Tipra ano), for example, KIRIUI daddy long legs, a rice chironomid (Tanytarsus oryzae), Rice SHINTOMETAMABAE (Orseolia oryzae), a CHICHUUKAI fruit fly (Ceratitis capitata), Rice MIGIWABAE (Hydrellia griseola), cherry drosophila (Drosophila suzukii), FURITTSU fly (Oscinella frit), rice KARABAE (Chlorops oryzae), Kidney bean MOGURIBAE (Ophiomyiaphaseoli), Liriomyza trifolii (Liriomyza trifolii), Chenopodium album MOGURIHANABAE (Pegomya hyoscyami), A seed-corn fly (Hylemiaplatura), sorghum fly (Atherigona soccata), A muscid (Musca domestica), a horsefly (Gastrophilus sp.), The Stomoxys calcitrans (Stomoxys sp.), Aedes aegypti (Aedes aegypti), A red house mosquito (Culex pipiens), Chinese anopheles (Anopheles sinensis), an encephalitis mosquito (Culex tritaeniorhynchus), etc.

[0182] A Hymenoptera noxious insect (Cephus sp.), for example, KUKIBACHI, KATABIROKOBACHI (Harmolita sp.), turnip sawflies (Athalia sp.), hornets (Vespa sp.), and fire ANTO

[0183] An Orthoptera noxious insect (Blattella germanica), for example, Blattella germanica, a American cockroach (Periplaneta americana), a mole cricket (Gryllotalpa africana), a grasshopper (Locustamigratoria migratoriodes), Melanoplussanguinipes, etc.

[0184] The Isoptera noxious insect (Reticulitermessperatus), for example, Reticulitermes, Coptotermes formosanus (Coptotermes formosanus), etc.

[0185] A thrip eye noxious insect (Scirtothrips dorsalis), for example, Scirtothrips dorsalis, a MINAMIKIIRO thrip (Thrips palmi), a croton thrip (Heliothrips haemorrhoidalis), a western flower thrips (Frankliniella occidentalis), an INEKUDA thrip (Haplothrips aculeatus), etc.

[0186] Spider mites (Tetranychus urticae), for example, a twospotted spider mite, KANZAWAHADANI (Tetranychus kanzawai), A citrus red mite (Panonychus citri), a European red mite (Panonychus ulmi), A yellow dynamite (Eotetranychus carpini), The Texas SHITORASU dynamite (Eotetranychus banksi), A mandarin orange rust mite (Phyllocoptruta oleivora), CHANO dust ticks (Polyphagotarsonemus latus), HIMEHADANI (Brevipalpus sp.), ROBINNEDANI (Rhizoglyphus robini), Tyrophagus putrescentiae (Tyrophagus putrescentiae), etc.

[0187] Vegetable parasitism nature Nematoda (Meloidogyne incognita), for example, a sweet potato root-knot nematode, a meadow nematode (Pratylenchus sp.), soybean cyst SENCHUU (Heterodera glycines), rice singer RESENCHUU (Aphelenchoides besseyi), a pine wood nematode (Bursaphelenchus xylophilus), etc.

[0188] In addition, a noxious animal, an unpleasant animal, a medically important insect, and a parasite (Pomacea canaliculata), for example, a SUKUMI apple guy, Gastropoda (Gastropoda), such as a slug (Incilariasp.) and Achatina (Achatina fulica) DANGOMUSHI (Armadillidium sp.), a sow bug, Isopoda (Isopoda), such as centipede, Liposcelis Book louses, such as sp., Ctenolepisma Thysanura, such as sp., Pulex sp., Ctenocephalides Siphonaptera, such as sp., Trichodectes Biting lice, such as sp., Cimex Animal parasitism nature Acari, such as Cimex lectularius, such as sp., Boophilus microplus (Boophilus microplus), and Haemaphysalis longicornis (Haemaphysalis longicornis), Epidermoptidae, etc. can be mentioned.

[0189] Furthermore, it is effective in an organic phosphorus system compound, a carver mate system compound, a synthetic pyrethroid system compound, an acyl urea system compound, or the existing insecticide also to the noxious insect which shows resistance.

[0190]

[Effect of the Invention] The compound of this invention can also prevent the noxious organism which showed the prevention effectiveness which was excellent to wide range noxious organisms, such as a Hemiptera noxious insect, a Lepidoptera noxious insect, a beetles noxious insect, a Diptera noxious insect, a Hymenoptera noxious insect, an Orthoptera noxious insect, the Isoptera noxious insect, a thrip eye noxious insect, spider mites, and vegetable parasitism nature Nematoda, and was tinctured with resistance. Or according to this invention approach, the compound with which an invention compound at least both o- carried out [a compound] the chloro permutation without the catalyst, for example was introduced into other aryl groups can be manufactured.

[0191] Next, the typical example of pharmaceutical preparation is given and the pharmaceutical preparation approach is explained concretely. The class and the rate of a compounding ratio of a compound and an adjuvant can be changed in the large range, without being limited only to this. In the following explanation, % shows weight percent.

[0192] Example 1 of pharmaceutical preparation 30% (II-7) of emulsion compounds, and cyclohexanone 20%, polyoxyethylene-alkyl-aryl-ether 11%, alkylbenzene-sulfonic-acid calcium 4% and methyl naphthalene 35% was dissolved in homogeneity, and it considered as the emulsion.

[0193] Example 2 of pharmaceutical preparation 10% (II-7) of water-dispersible-powder compounds, 0.5% of naphthalene sulfonic-acid formalin condensate sodium salt, and polyoxyethylene alkyl aryl 0.5%, preferential grinding of the 24% [of diatomaceous earth] and clay 65% was carried out to homogeneity, and it considered as water dispersible powder.

[0194] Example 3 of pharmaceutical preparation Preferential grinding of the 2% [of powder-material compounds] (II-7), 5% [of diatomaceous earth], and clay 93% was carried out to homogeneity, and it considered as powder material.

[0195] Example 4 of pharmaceutical preparation Preferential grinding of the carboxymethyl-cellulose 2% and clay 86% was carried out to homogeneity 5% [of granule compounds] (II-7), 2% [of sodium salt of a lauryl alcohol sulfate], and ligninsulfonic acid sodium 5%. The water 20 weight section was added and kneaded in this mixture 100 weight section, and using the extrusion type granulating machine, after [14-32 meshes] processing it granular, it dried and considered as the granule.

[0196] Next, this invention compound is explained with the example of a trial about the effectiveness that the pest control agent made into an active principle does so.

[0197] Example 1 of a trial The water dispersible powder prepared according to the example 2 of rice brown planthopper insecticidal test preparation was diluted with water in concentration of 500 ppm. Rice forage was immersed in the drug solution, and it put on the test tube gently after the air dried. Five rice brown planthopper larvae were released into it, and the plug was carried out with absorbent cotton. Then, it put on the 25-degree C thermostatic chamber, the number of dead insects was investigated six days after, and mortality was computed by several 1 formula. The trial was performed by 2 ream system. A result is shown in Table 19 - 22.

[0198]

[Equation 1]

$$\text{死虫率 (\%)} = \frac{\text{調査日の死虫数}}{\text{供試幼虫数}} \times 100$$

[0199]

[Table 19]

| 化合物番号 | 死虫率 |
|-------|-----|
| I- 1 | 100 |
| I- 7 | 100 |
| I- 8 | 100 |
| I- 9 | 100 |
| I- 10 | 100 |
| I- 13 | 100 |
| I- 14 | 100 |
| I- 15 | 100 |
| I- 16 | 100 |
| I- 17 | 100 |
| I- 31 | 100 |
| I- 32 | 100 |
| I- 34 | 100 |
| I- 59 | 100 |
| I- 60 | 100 |
| I- 62 | 100 |
| I- 65 | 100 |
| I- 66 | 100 |
| I- 87 | 100 |
| I- 88 | 100 |
| I- 89 | 100 |
| I- 90 | 100 |
| I- 91 | 100 |
| I- 93 | 100 |
| I- 94 | 100 |
| I- 95 | 100 |
| I- 96 | 100 |
| I- 97 | 100 |
| I-100 | 100 |
| I-110 | 100 |
| I-113 | 100 |

[0200]

[Table 20]

| 化合物番号 | 死虫率 |
|-------|-----|
| I-114 | 100 |
| I-117 | 100 |
| II-1 | 100 |
| II-2 | 100 |
| II-3 | 100 |
| II-4 | 100 |
| II-5 | 100 |
| II-7 | 100 |
| II-8 | 100 |
| II-9 | 100 |
| II-10 | 100 |
| II-11 | 100 |
| II-13 | 100 |
| II-14 | 100 |
| II-15 | 100 |
| II-16 | 100 |
| II-17 | 100 |
| II-18 | 100 |
| II-19 | 100 |
| II-20 | 100 |
| II-23 | 100 |
| II-24 | 100 |
| II-40 | 100 |
| II-41 | 100 |
| II-69 | 100 |
| II-70 | 100 |
| II-71 | 100 |
| II-72 | 100 |
| II-73 | 100 |
| II-74 | 100 |
| II-75 | 100 |

[0201]

[Table 21]

| 化合物番号 | 死虫率 |
|-------|-----|
| II-76 | 100 |
| II-77 | 100 |
| II-78 | 100 |
| II-84 | 100 |
| II-85 | 100 |
| II-88 | 100 |
| II-90 | 100 |
| II-91 | 100 |
| II-93 | 100 |
| II-94 | 100 |
| II-95 | 100 |
| IV- 2 | 100 |
| IV- 3 | 100 |
| IV- 7 | 100 |
| IV- 9 | 100 |
| IV-17 | 100 |
| IV-23 | 100 |
| IV-25 | 100 |
| IV-27 | 100 |
| IV-28 | 100 |
| IV-33 | 100 |
| IV-34 | 100 |
| IV-36 | 100 |
| IV-40 | 100 |
| IV-45 | 100 |
| V- 3 | 100 |
| V- 5 | 100 |
| V- 7 | 100 |
| V- 11 | 100 |
| V- 12 | 100 |
| V- 13 | 100 |

[0202]

[Table 22]

| 化合物番号 | 死虫率 |
|---------|-----|
| V- 14 | 100 |
| V- 15 | 100 |
| V- 16 | 100 |
| V- 20 | 100 |
| V- 22 | 100 |
| V- 23 | 100 |
| V- 24 | 100 |
| V- 26 | 100 |
| VI- 5 | 100 |
| VI- 7 | 100 |
| VI- 13 | 100 |
| VII- 1 | 100 |
| VIII- 2 | 100 |

[0203] Example 2 of a trial The water dispersible powder prepared according to the example 2 of SHIROICHIMOJIYOTOU insecticidal test preparation was diluted with water in concentration of 500 ppm. It was immersed in the drug solution and the cabbage leaf was put into the cup with a capacity of 60ml made from a vinyl chloride after the air dried at it. Ten cabbage moth 3 age larvae were released into it, and it covered. Then, it put on the 25-degree C thermostatic chamber, the number of dead insects was investigated six days after, and mortality was computed by several 1 formula. The trial was performed by 1 ream system. A result is shown in Table 23 and Table 24.

[0204]

[Table 23]

| 化合物番号 | 死虫率 |
|-------|-----|
| I- 1 | 100 |
| I- 2 | 100 |
| I- 7 | 100 |
| I- 8 | 100 |
| I- 9 | 100 |
| I- 16 | 100 |
| I- 17 | 100 |
| I- 59 | 100 |
| I- 60 | 100 |
| I- 62 | 100 |
| I- 65 | 100 |
| I-110 | 100 |
| I-111 | 100 |
| I-112 | 100 |
| I-114 | 100 |
| II- 1 | 100 |
| II- 2 | 100 |
| II- 3 | 100 |
| II- 4 | 100 |
| II-72 | 100 |
| II-73 | 100 |
| II-84 | 100 |
| II-85 | 100 |
| II-86 | 100 |
| IV- 9 | 100 |
| IV-36 | 100 |
| V- 1 | 100 |
| V- 2 | 100 |
| V- 3 | 100 |
| V- 5 | 100 |
| V- 7 | 100 |

[0205]

[Table 24]

| 化合物番号 | 死虫率 |
|---------|-----|
| V- 9 | 100 |
| V- 10 | 100 |
| V- 11 | 100 |
| V- 12 | 100 |
| V- 14 | 100 |
| V- 16 | 100 |
| V- 17 | 100 |
| V- 22 | 100 |
| V- 23 | 100 |
| V- 26 | 100 |
| VI- 1 | 100 |
| VIII- 2 | 100 |
| VIII-10 | 100 |
| VIII-12 | 100 |
| VIII-19 | 100 |

[0206] Example 3 of a trial The water dispersible powder prepared according to the example 2 of *Aulacophora femoralis* insecticidal test preparation was diluted with water in concentration of 500 ppm. It was dropped at the 20g desiccation soil which put 5ml of the drug solution into the cup made from a vinyl chloride with a capacity of 60ml. Furthermore, five grains of cucumber seeds were put in, it mixed with them here, the five-animal insects scatter of the *Aulacophora femoralis* 2 age larva was carried out, and it covered. Then, it put on the 25-degree C thermostatic chamber, the number of dead insects was investigated five days after, and mortality was computed by several 1 formula. The trial was performed by 2 ream system. A result is shown in Table 25.

[0207]

[Table 25]

| 化合物番号 | 死虫率 |
|---------|-----|
| I - 7 | 100 |
| I - 8 | 100 |
| I - 9 | 100 |
| I - 10 | 100 |
| I - 16 | 100 |
| I - 17 | 100 |
| II - 4 | 100 |
| II - 5 | 100 |
| II - 7 | 100 |
| II - 9 | 100 |
| II - 10 | 100 |
| II - 13 | 100 |
| II - 18 | 100 |
| II - 20 | 100 |
| II - 72 | 100 |
| II - 73 | 100 |

[0208] Example 4 of a trial It diluted with water in concentration of 500 ppm by making into an active principle water dispersible powder prepared according to the example 2 of twospotted spider mite prevention test pharmaceutical preparation. To the drug solution, it was immersed and the soybean seedling which inoculated the twospotted spider mite imago beforehand was air-dried. The soybean seedling after processing was put on the thermostatic chamber of 25-degree Centigrade, investigated the number of survival insects 13 days after, and asked for preventive value by several 2 formula. A result is shown in Table 26.

[0209]

[Equation 2]

$$\text{防除値 (\%)} = \frac{(1 - \text{無処理区の処理前成虫数})}{\text{処理区の処理前成虫数}} \times \frac{\text{処理区の調査日成虫数}}{\text{無処理区の処理日成虫数}} \times 100$$

[0210]

[Table 26]

| 化合物番号 | 死虫率 |
|---------|-----|
| I-107 | 100 |
| II-70 | 95 |
| II-82 | 90 |
| II-95 | 95 |
| IV-7 | 99 |
| IV-23 | 100 |
| IV-25 | 96 |
| IV-28 | 95 |
| IV-34 | 100 |
| V-20 | 99 |
| V-22 | 100 |
| VI-1 | 91 |
| VI-13 | 89 |
| VIII-10 | 97 |

[Translation done.]

TECHNICAL FIELD

[Field of the Invention] This invention relates to the pest control agent which contains a new diaryl sulfide derivative and this new as an active principle.

[Translation done.]